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ch level : 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom

H,F,CH3,NH2,SH

14:Atom 15:Atom 16:Atom 17:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 37:CLASS 38:CLASS 39:CLASS 40:CLASS 42:CLASS 43:CLASS 45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS 58:Atom 59:Atom 60:Atom 61:Atom 62:Atom 63:CLASS 65:CLASS 67:CLASS 68:CLASS 70:CLASS 71:CLASS 72:CLASS 73:CLASS 74:CLASS 75:CLASS 76:CLASS 77:CLASS 77:CLASS 79:CLASS 81:CLASS 82:CLASS 84:CLASS 85:CLASS 86:CLASS 88:CLASS 89:CLASS 91:CLASS 92:CLASS 93:CLASS 95:CLASS 97:CLASS 98:CLASS

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FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004

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STRUCTURE FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9 DICTIONARY FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter <a href="HELP PROP">HELP PROP</a> at an arrow prompt in the file or refer to the file summary sheet on the web at: <a href="http://www.cas.org/ONLINE/DBSS/registryss.html">http://www.cas.org/ONLINE/DBSS/registryss.html</a>

=> L1

STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

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=> s 11

SAMPLE SEARCH INITIATED 00:32:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 302 TO ITERATE

100.0% PROCESSED 302 ITERATIONS

25 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

4998 TO 7082

PROJECTED ANSWERS:

200 TO 800

L2 25 SEA SSS SAM L1

=> s 11 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N OR END:Y
FULL SEARCH INITIATED 00:32:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6058 TO ITERATE

100.0% PROCESSED 6058 ITERATIONS

447 ANSWERS

SEARCH TIME: 00.00.01

L3 447 SEA SSS FUL L1

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

168.44 168.65

FULL ESTIMATED COST

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FILE COVERS 1907 - 12 May 2004 VOL 140 ISS 20 FILE LAST UPDATED: 10 May 2004 (20040510/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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13 L3 L4

=> s 14 and priestley, e?/au 64 PRIESTLEY, E?/AU

1 L4 AND PRIESTLEY, E?/AU 1.5

=> d 15, ibib abs fhitstr, 1

ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN

Citing Full References

ACCESSION NUMBER:

2003:261620 HCAPLUS

DOCUMENT NUMBER:

138:287673

TITLE:

Preparation of phenylbenzimidazole compounds useful

for treating hepatitis C virus

INVENTOR(S):

Priestley, Eldon Scott; Decicco, Carl P.; Hudyma,

Thomas W.; Zheng, Xiaofan

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA PCT Int. Appl., 74 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P.	ATENT	KI	ND	D DATE APPLICATION NO. DATE														
														<del>-</del>				
WC	2003	0265	87	A:	2	2003	0403		M	20	02 - U	5309	89	2002	0926			
WC	2003	02658	87	A.	3	2003	1106											
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	ΒA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
														GB,				
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	ΚĖ,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LŔ,	
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	UA, UG, U					YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
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		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	
		-												GQ∙,				
		NE,	SN,	TD,	TG													
US	3 2003	1348	53	A	1	2003	0717		U	S 20	02-2	5904	1	2002	0926			
US 2004067976 A1						2004	0408		U	S 20	03-6	4887	3	2003	0827			
PRIORI	ry APF					US 2	001-	3248	74P	P	2001	0926						
									US 2	002-	2590	41	В1	2002	0926			
OTHER S	SOURCE	(S):			MAR	PAT	138:	2876	73									

AB Compds. of formula I [Q = CH, N; R1 = tetrazolyl, MeCONHSO2, PhCONHSO2, etc.; R2 = CH2-aryl, CHPh2, etc.; R3 = cycloalkyl] are prepd. which are useful in treating viral hepatitis C. Thus, II was prepd. and had an IC50 of 0.14  $\mu$ M against HCV NS5B RdRp (RNA-dependent RNA polymerase).

IT 503857-56-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of phenylbenzimidazole compds. for treating hepatitis C viral infection)

RN 503857-56-5 HCAPLUS

CN Glycine, N-[4-(5-acetyl-2-thienyl)-3-[[4-[1-cyclohexyl-5-(1H-tetrazol-5-yl)-1H-benzimidazol-2-yl]phenoxy]methyl]benzoyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN <u>503857-55-4</u> CMF C40 H41 N7 O5 S

CM 2

CRN <u>76-05-1</u> CMF C2 H F3 O2

=> d his

(FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004

STRUCTURE UPLOADED L1

L225 S L1

L3447 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004

13 S L3 L4

1 S L4 AND PRIESTLEY, E?/AU L5

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12 L4 NOT L5

=> s 16 and decicco, c?/au

125 DECICCO, C?/AU

0 L6 AND DECICCO, C?/AU L7

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45 HUDYMA, T?/AU

0 L6 AND HUDYMA, T?/AU 1.8

=> s 16 and zheng, x?/au

3518 ZHENG, X?/AU

0 L6 AND ZHENG, X?/AU 1.9

=> d 16, ibib abs fhitstr, 1-12

ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN L6

Full References Text

2003:981461 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 140:246106

Non-nucleoside inhibitors of the hepatitis C virus TITLE:

NS5B polymerase: discovery and preliminary SAR of

benzimidazole derivatives

Beaulieu, Pierre L.; Bos, Michael; Bousquet, Yves; AUTHOR (S):

Fazal, Gulrez; Gauthier, Jean; Gillard, James; Goulet,

Sylvie; LaPlante, Steven; Poupart, Marc-Andre; Lefebvre, Sylvain; McKercher, Ginette; Pellerin,

Charles; Austel, Volkhard; Kukolj, George

Department of Chemistry, Research and Development, CORPORATE SOURCE:

Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S

2G5, Can.

Bioorganic & Medicinal Chemistry Letters (2004), SOURCE:

14(1), 119-124

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

Journal

DOCUMENT TYPE: English LANGUAGE:

Benzimidazole 5-carboxamide derivs. from a combinatorial screening library AΒ were discovered as specific inhibitors of the NS5B polymerase of the hepatitis C virus (HCV). Initial hit-to-lead activities taking advantage of high-throughput parallel synthetic techniques, identified a 1,2-disubstituted benzimidazole 5-carboxylic acid scaffold as the min. core for biol. activity. Potent analogs in this series inhibit the polymerase at low micromolar concns. and provide an attractive 'drug-like' lead structure for further optimization and the development of potential HCV therapeutics.

IT 390815-16-4P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(discovery and preliminary SAR of benzimidazole derivs. as inhibitors of hepatitis C virus NS5B polymerase)

390815-16-4 HCAPLUS RN

1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-[[3-(dimethylamino)propyl]amino]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS 21 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2004 ACS on STN L6 ANSWER 2 OF 12

2003:319709 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

138:338144

Preparation of 2-phenyl benzimidazoles and TITLE:

imidazo-[4,5]pyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in the

treatment of cancer

Arienti, Kristen L.; Axe, Frank U.; Breitenbucher, J. INVENTOR(S):

Guy; Huang, Liming; Lee, Alice; McClure, Kelly J.

Ortho-McNeil Pharmaceutical, Inc., USA PATENT ASSIGNEE(S):

PCT Int. Appl., 144 pp. SOURCE:

CODEN: PIXXD2

Patent DOCUMENT TYPE:

English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATEN'	ND I	DATE			A	PPLI	CATI	ои ис	o. :							
								-						<b>-</b> -		
WO 200	30329	1,	2003	0424		WO 2002-US33371 2002101										
WO 2003032984 C1				1	2003	1120										
W	AE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
													GB,			
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
	LS	LT.	TAT.	T.V.	MA.	MD.	MG.	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,

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PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                            20030918
                                           US 2002-273487
                                                             20021018
    US 2003176438
                       A1
                            20030818
                                           NO 2003-2759
                                                             20030617
    NO 2003002759
                       Α
                                        US 2001-330304P
                                                             20011019
PRIORITY APPLN. INFO.:
                                        WO 2002-US33371
                                                         W
                                                             20021018
                         MARPAT 138:338144
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OTHER SOURCE(S):

GT

2-Aryl-substituted benzimidazoles and imidazo[4,5]pyridines (shown as I; AB e.g. 2-[4-(4-chlorophenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide (II)) are disclosed as inhibitors of Cds1 and useful as adjuvants to chemotherapy or radiation therapy in the treatment of cancer. For I: W is COOH, -C(0)NHR1, or -SO2NHR1 (R1 is H or C1-4alkyl); Q is N or CH; Ra and Rb are H or halogen; Y, Y1 and Y2 = N and C-Rc with the proviso that 0, 1 or 2 of Y, Y1 and Y2 are N and at least 2 of Rc must be H; Rc = -H, -OH, -C1-6alkyl, -SCF3, halo, -CF3 and -OCF3; Z = O, S, SO, SO2, SO2NR2, NR2SO2, NH, CONR2, piperazinediyl or a covalent bond; R2 is H or C1-4alkyl; Ar1 is an arom. group as defined in the claims. IC50 values are reported for inhibition of human Cds1 checkpoint kinase by 103 examples of I, e.g. 3 nM for 2-[4-(4-chloro-3trifluoromethylphenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide. Addnl. studies were (i) detn. of the effect of II on tumor cell line clonogenic survival, (ii) effect of II on tumor growth in murine xenograft models, (iii) detn. of the effect of 14 examples of I on radiation-induced apoptosis in isolated primary cells, and (iv) detn. of the effect of II on radiation-induced apoptosis in splenocytes in vivo. Although the methods of prepn. are not claimed, ~100 example prepns. are included. IT 516480-80-1P, 2-[4-(4-Chlorophenoxy)phenyl]-1H-benzimidazole-5-

carboxylic acid

Ι

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; prepn. of benzimidazoles and imidazopyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in treatment of cancer)

516480-80-1 HCAPLUS

RN

1H-Benzimidazole-5-carboxylic acid, 2-[4-(4-chlorophenoxy)phenyl]- (9CI) CN (CA INDEX NAME)

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER:

2003:203407 HCAPLUS

DOCUMENT NUMBER:

138:238181

TITLE:

Preparation of substituted 1-cyclohexyl-2-

phenylbenzimidazole-5-carboxylic acids as remedies for

hepatitis C

INVENTOR (S):

Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S):

Japan Tobacco Inc., Japan

SOURCE:

U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl.

No. PCT/JP00/09181.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

GΙ

English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

	PATENT		KI	ND I	DATE			A	PPLI	CATI	ON NO	٥.	DATE				
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	US 2003	0503	20	A.	1 :	2003	0313		U	S 20	01-9	3937	4	2001	0824		
	WO 2001	0478	83	A	1	2001	0705		W	20	00-J	P918	1	2000	1222		
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	ΒΥ,	BZ,	CA,	CH,	CN,
														GE,			
		HU,	ID,	IL,	IN,	IS,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,
		MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,		
		SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VN,	YU,	ZA,
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM								
	RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
	JP 2001	2475	50	A	2	2001	0911		J	P 20	00-3	9190	4	2000	1225		
PRIOR	ITY APP	LN.	INFO	. :					JP 1	999-	3690	08	Α	1999	1227		
								Ī	WO 2	000-	JP91	81	<b>A</b> 2	2000	1222		•
								JP 2000-391904 A 20001225									
								1	JP 2	001-	1937	86	Α	2001	0626		
OTHER	OTHER SOURCE(S): MA							MARPAT 138:238181									

The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

IT 347165-35-9P

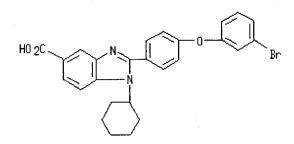
CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 347165-35-9 HCAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing
Text References

ACCESSION NUMBER:

2003:5773 HCAPLUS

DOCUMENT NUMBER:

138:66657

TITLE:
INVENTOR(S):

Fused cyclic compounds and medicinal use thereof Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S):

SOURCE:

Japan Tobacco Inc., Japan

PCT Int. Appl., 603 pp. CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent Japanese

DANTELL AGG NUM GOLDING

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE				
WO 2003000254	A1 20030103	WO 2002-JP6405	20020626				
W: AE, AG,	AL, AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	, BZ, CA, CH, CN,				
CO, CR,	CU, CZ, DE, DK, DM,	DZ, EC, EE, ES, FI,	, GB, GD, GE, GH,				
GM, HR,	HU, ID, IL, IN, IS,	KE, KG, KR, KZ, LC,	, LK, LR, LS, LT,				
LU, LV,	MA, MD, MG, MK, MN,	MW, MX, MZ, NO, NZ,	, OM, PH, PL, PT,				
RO, RU,	SD, SE, SG, SI, SK,	SL, TJ, TM, TN, TR,	, TT, TZ, UA, UG,				
US, UZ,	VN, YU, ZA, ZM, ZW,	AM, AZ, BY, KG, KZ,	, MD, RU, TJ, TM				
RW: GH, GM,	KE, LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM,	, ZW, AT, BE, CH,				
CY, DE,	DK, ES, FI, FR, GB,	GR, IE, IT, LU, MC,	, NL, PT, SE, TR,				
BF, BJ,	CF, CG, CI, CM, GA,	GN, GQ, GW, ML, MR,	, NE, SN, TD, TG				
JP 2003212846	A2 20030730	JP 2002-185241	20020625				
BR 2002005684	A 20030617	BR 2002-5684	20020626				
EP 1400241	A1 20040324	EP 2002-743728	20020626				
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IE, SI,	LT, LV, FI, RO, MK,	MK, CY, AL, TR					
US 2004082635	A1 20040429	<u>US 2003-344997</u> 20030218					
NO 2003000832	A 20030422	NO 2003-832	20030221				

PRIORITY APPLN. INFO.:

JP 2001-193786

A 20010626

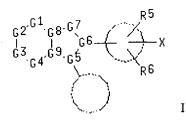
JP 2001-351537 WO 2002-JP6405

A 20011116 W 20020626

OTHER SOURCE(S):

MARPAT 138:66657

GΙ



Fused cyclic compds. represented by the following general formula [I] or AΒ pharmaceutically acceptable salts thereof and remedies for hepatitis C contq. these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

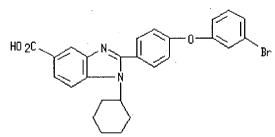
IT 347165-35-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)

347165-35-9 HCAPLUS RN

1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-CNcyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

TITLE:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 12 **HCAPLUS** COPYRIGHT 2004 ACS on STN

27

Citimen Full References Text ACCESSION NUMBER:

2002:51438 HCAPLUS

DOCUMENT NUMBER: 136:118447

Preparation of benzimidazolecarboxylates and related

compounds as viral polymerase inhibitors

Beaulieu, Pierre Louis; Fazal, Gulrez; Gillard, James; INVENTOR(S):

Kukolj, George; Austel, Volkhard

Boehringer Ingelheim (Canada) Ltd., Can. PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 322 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
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                                                            20010704
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             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
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    US 6448281
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    EP 1301487
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                            20030416
                                          EP 2001-951274
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                                                            20010704
    US 6479508
                       В1
                           20021112
                                           US 2001-995099
                                                            20011127
    WO 2002070739
                      A2
                            20020912
                                           WO 2002-CA323
                                                            20020306
    WO 2002070739
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             TJ. TM
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     EP 1370682
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                          20031217
                                          EP 2002-712681 20020306
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    US 2003232816
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                           20031218
                                           US 2002-238282
                                                            20020910
PRIORITY APPLN. INFO.:
                                        US 2000-216084P P
                                                           20000706
                                        US 2001-274374P
                                                        P
                                                           20010308
                                        US 2001-281343P P
                                                           20010405
                                        US 2001-898297
                                                        A3 20010703
                                        WO 2001-CA989
                                                        W 20010704
                                        US 2001-995099
                                                        A3 20011127
                                        WO 2002-CA323
                                                        W 20020306
OTHER SOURCE(S):
                        MARPAT 136:118447
```

GΙ

AB Title compds. [I; X = CH, N; Y = O, S; Z = OH, NH2, NMeR3, NHR3, OR3, 5-6 membered (substituted) heterocyclyl; A = N, COR7, CR5; R5 = H, halo, alkyl; R7 = H, alkyl; X and A are not both N; R6 = H, halo, alkyl, OR7; R7 = H , alkyl; R1 = (substituted) hetero(bi)cyclyl, Ph, phenylalkyl, alkenyl, phenylalkenyl, cycloalkyl, alkyl, CF3; R2 = (substituted) alkyl,

cycloalkyl, cycloalkylalkyl, bicycloalkyl, adamantyl, Ph, pyridyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkenyl, cycloalkylalkenyl, arylalkenyl, dialkylamino, heterocyclyl, etc.; n = 0, 1], were prepd. Thus, Me 3-amino-4-cyclohexylaminobenzoate (prepn. given), 2-pyridinecarboxaldehyde, and Oxone were stirred in DMF to give 80% Et 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylate, which was sapond. with aq. NaOH in MeOH to give 91% 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylic acid. The latter inhibited hepatitis C virus RNA dependent polymerase (NS5B) with IC50 = 1-5  $\mu$ M.

IT 347166-09-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazolecarboxylates and related compds. as viral polymerase inhibitors)

RN 347166-09-0 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 2001:489367 HCAPLUS

DOCUMENT NUMBER: 135:76874

TITLE: Preparation of heterocyclic compounds as remedies for

hepatitis C

INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S):

SOURCE:

Japan Tobacco Inc., Japan PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

3

PATENT INFORMATION:

PATEN	PATENT NO. KIND					DATE APPLICATION NO. DATE										
								-								
WO 20	01047	883	A	1	2001	0705		Mo	20	00-J	P918	1_	2000	1222		
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	HU, ID, I			IN,	IS,	KΕ,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,
	MA, MD, MG,			MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,
	SG	, SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,
	ZW	, AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	TJ,	TM						
R	W: GH	, GM,	KE,	ĻS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
	DE	, DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
	BJ	, CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
EP 1162196 A1				1	2001	1212		E	P 20	00-9	8772	8	2000	1222		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO BR 2000008525 Α 20020102 BR 2000-8525 20001222 20020621 TR 2001-20010314720001222 TR 200103147 T1 20021025 NZ 2000-514403 20001222 NZ 514403 Α 20001222 20030717 AU 2001-24017 AU 763356 B2 C2 20040220 RU 2001-126283 20001222 RU 2223761 NO 2001004134 20011022 NO 2001-4134 20010824 Α US 2001-939374 20010824 US 2003050320 A1 20030313 ZA 2001007870 20020925 ZA 2001-7870 20010928 PRIORITY APPLN. INFO.:

 JP
 1999-369008
 A
 19991227

 WO
 2000-JP9181
 W
 20001222

 JP
 2000-391904
 A
 20001225

 JP
 2001-193786
 A
 20010626

OTHER SOURCE(S):

MARPAT 135:76874

GΙ

HO 
$$2^{\mathbb{C}}$$

N

 $0 - \text{CH } 2$ 
 $S = 0$ 

Me II

The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011  $\mu$ M against hepatitis C virus polymerase. A formulation is given.

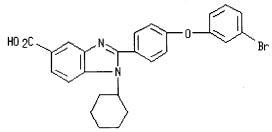
IT 347165-35-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. as remedies for hepatitis C)

RN 347165-35-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing.
Text References

ACCESSION NUMBER: 2001:412102 HCAPLUS

DOCUMENT NUMBER: 135:177890

TITLE: Synthesis and antimicrobial activity of some new

2-phenyl-N-substituted carboxamido-1H-benzimidazole

derivatives

AUTHOR(S): Goker, Hakan; Tuncbilek, Meral; Suzen, Sibel; Kus,

Canan; Altanlar, Nurten

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of

Pharmacy, Ankara University, Ankara, 06100, Turk.

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2001),

334(5), 148-152

CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:177890

GΙ

AB Some 1H-benzimidazole-carboxamide derivs. were prepd. and their antimicrobial activities against Staphylococcus aureus, Escherichia coli,

and Candida albicans evaluated. Compds. I, II, and III exhibited the best activity against C. albicans.

IT 174422-18-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and antimicrobial activity of new 2-phenyl-N-substituted carboxamido-1H-benzimidazole derivs.)

RN 174422-18-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

8

Full Citing Text References

ACCESSION NUMBER:

1999:614608 HCAPLUS

DOCUMENT NUMBER:

131:286454

TITLE:

Synthesis and antimicrobial activity of some new

benzimidazole carboxylates and carboxamides

AUTHOR(S):

Ayhan-Kilcigil, Gulgun; Tuncbilek, Meral; Altanlar,

Nurten; Goker, Hakan

CORPORATE SOURCE:

Department of Pharmaceutical Chemistry, Faculty of

Pharmacy, Ankara University, Ankara, 06100, Turk.

SOURCE:

Farmaco (1999), 54(8), 562-565 CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER:

Elsevier Science S.A.

DOCUMENT TYPE:

Journal

 ${\tt LANGUAGE:}$ 

English

GΙ

Benzimidazole carboxylates and carboxamides, e.g., I [R1 = MeO, (2-pyridinylmethyl)amino, 4-methylpiperidino, R2 = 2-ClC6H4, 4-ClC6H4, 2,4-Cl2C6H3, 2-MeOC6H4, 4-MeOC6H4, 2-thienyl], were synthesized and evaluated for their antimicrobial activities against Staphylococcus aureus, Escherichia coli, and Candida albicans. Among the investigated compds., I (R1 = MeO, R2 = 2-MeOC6H4) exhibited best activity against C. albicans.

IT 246517-85-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and antimicrobial activity of benzimidazole carboxylates and carboxamides)

RN 246517-85-1 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]-1-

(phenylmethyl) -, methyl ester (9CI) (CA INDEX NAME)

MeO - C N N CH 2- Ph

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER:

1999:184240 HCAPLUS

DOCUMENT NUMBER:

130:209707

TITLE:

Preparation of 2-substituted phenyl-benzimidazole

antibacterial agents

INVENTOR(S):

Ohemeng, Kwasi Adomako; Nguyen, Van Nhatton

Órtho-McNeil Pharmaceutical, Inc., USA

SOURCE:

PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

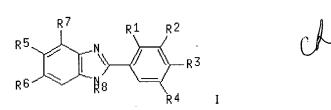
PATENT ASSIGNEE(S):

P	PATENT NO.					KIND DATE					ICATION NO. DATE								
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		-GM	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG					•			
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AU 9893054 A1 19990									A	U 19	98-9	3054		1998	0904				
PRIORI	PRIORITY APPLN. INFO.:							US 1997-924558 19970905											
	,									WO 1998-IIS18586 199						80904			

OTHER SOURCE(S):

MARPAT 130:209707

GΤ



AB Benzimidazoles I [R1 = H, OH, alkoxy; R2, R3, R4 = H, OH, alkyl, CF3, halo, etc.; R5 = H, amino, amidino; R6 = nitro, C(NHR9):NR10; R7 = H, amino, nitro; R8 = H, Me], antibacterial compds., were prepd. These compds. are effective in inhibiting the action of a bacterial histidine protein kinase and are useful as anti-infective agents against a variety

of bacterial organisms, including organisms which are resistant to other known antibiotics. E.g., 3,4-diaminobenzimidate, prepd. from 3,4-diaminobenzonitrile, was treated with NH3/EtOH, then with 4-Me3CC6H4CHO to give 2-[4-(1,1-dimethylethyl)phenyl]-2H-benzimidazole-5carboximidamide.

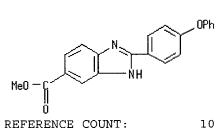
## IT 220955-73-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenylbenzimidazoles as antibacterial agents)

220955-73-7 HCAPLUS RN

1H-Benzimidazole-5-carboxylic acid, 2-(4-phenoxyphenyl)-, methyl ester CN (9CI) (CA INDEX NAME)



103(a) Bio isasterl

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN



ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

AUTHOR (S):

1998:634393 HCAPLUS

129:316174

Synthesis of some new benzimidazolecarboxamides and

evaluation of their antimicrobial activity

Goker, Hakan; Tuncbilek, Meral; Ayhan, Gulgun;

Altanlar, Nurten

CORPORATE SOURCE:

Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Ankara University, Ankara, 06100, Turk.

Farmaco (1998), 53(6), 415-420

CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER:

SOURCE:

Elsevier Science S.A.

DOCUMENT TYPE:

Journal

English LANGUAGE:

A series of 1,2-disubstituted benzimidazole-5(6)-carboxamides was prepd. and evaluated in vitro for antimicrobial activity against Staphylococcus aureus, Escherichia coli, and Candida albicans. The precursor benzimidazolecarboxylic acids were prepd. via oxidative condensation of diaminobenzoic acids with aldehydes and via several steps over the 2(1H)-benzimidazolones, resp. All acids were converted to their acyl chlorides with SOC12, then amidified with several N, N'-dialkylaminoethyl derivs.

## IT 174422-18-5

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. and bactericidal and fungicidal activity of benzimidazolecarboxamides)

RN 174422-18-5 HCAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) CN (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN 1.6

ACCESSION NUMBER:

1996:144268 HCAPLUS

DOCUMENT NUMBER:

124:197998

TITLE:

Synthesis of 1,2-disubstituted benzimidazole-5(6)carboxamides and evaluation of their antimicrobial

activity

AUTHOR (S):

Goeker, Hakan; Tebrizli, Emin; Abbasoqlu, Ufuk

CORPORATE SOURCE:

Faculty of Pharmacy, Univ. of Ankara, Tandogan, 06100,

SOURCE:

Farmaco (1996), 51(1), 53-8

CODEN: FRMCE8

PUBLISHER:

Societa Chimica Italiana

DOCUMENT TYPE:

Journal

LANGUAGE:

English

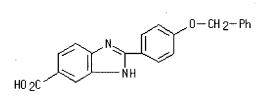
Fourteen N'-(N,N-dialkylaminoethyl)-benzimidazole 5(6)- or 5-carboxamides having several substituents on the azole and benzene nuclei were prepd. and evaluated in vitro for antimicrobial activity. The precursor benzimidazolecarboxylic acids were prepd. via oxidative condensation of diaminobenzoic acids and several aldehydes with cupric ion. carboxamides were prepd. from the corresponding acids and N, N-dialkylethylenediamine. Antibacterial and antifungal activities were detd. as MIC values. Compds. which were prepd. by replacement with bulky alkyl groups on the tert-N benzimidazole atom gave the best results.

IT 174422-18-5P

RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (synthesis of 1,2-disubstituted benzimidazole-5(6)-carboxamides and evaluation of their antimicrobial activity)

RN174422-18-5 HCAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) CN (CA INDEX NAME)



L6 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Citing FIII ACCESSION NUMBER:

1996:38013 HCAPLUS

DOCUMENT NUMBER:

124:202112

TITLE:

Synthesis of some new benzimidazole-5(6)-carboxylic

acids

AUTHOR(S):

Goeker, Hakan; Oelgen, Suereyya; Ertan, Rahmiye;

Akquen, Huelya; Oezbey, Sueheyla; Kendi, Engin; Topcu,

Guel

CORPORATE SOURCE:

SOURCE:

Fac. Pharmacy, Ankara Univ., Ankara, 06100, Turk. Journal of Heterocyclic Chemistry (1995), 32(6),

1767-73

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER:

DOCUMENT TYPE:

LANGUAGE:

Journal English

HeteroCorporation

GI

AB The title compds., 1,2-dialkyl-benzimidazole-5(6)-carboxylic acids I (Ar = Ph, 4-MeC6H4, 4-ClC6H4, 2-BrC6H4, OPh, 4-ClC6H4O, etc., R = H, F, CO2H position = 5, 6) were prepd. in four steps; (1) prepn. of mono amide derivs. II by the reaction of Me 3,4-diaminobenzoate and substituted Ph or phenoxyacetic acid chlorides ArCH2COCl, (2) prepn. of the Me benzimidazolecarboxylates III, with zinc chloride and dry hydrogen chloride gas, (3) alk. hydrolysis of the esters, and (4) substitution of the imidazole ring with benzyl or p-fluorobenzyl bromide, in alkali medium. 2-Aryl-benzimidazole-5(6)-carboxylic acids IV (R1 = H, OCH2Ph, OH, R2 = OCH2Ph, OH) were prepd. via the oxidative condensation of 3,4-diaminobenzoic acid and arom. aldehydes with cupric ion.

## IT 174422-18-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of benzimidazolecarboxylic acids)

RN <u>174422-18-5</u> HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

=> file caold

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE TOTAL
ENTRY SESSION
-9.01
-9.01

FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004
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FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter  $\underline{\text{HELP FIRST}}$  for more information.

#### => d his

(FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004

L1 STRUCTURE UPLOADED

L2 25 S L1

L3 447 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004

14 13 S L3

L5 1 S L4 AND PRIESTLEY, E?/AU

L6 12 S L4 NOT L5

L7 0 S L6 AND DECICCO, C?/AU

L8 0 S L6 AND HUDYMA, T?/AU

L9 0 S L6 AND ZHENG, X?/AU

FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004

=> s 13

L10 0 L3

=> file req

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.42 237.98

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE

0.00 -9.01

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9 DICTIONARY FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See <u>HELP CROSSOVER</u> for details.

Experimental and calculated property data are now available. For more information enter <a href="HELP PROP">HELP PROP</a> at an arrow prompt in the file or refer to the file summary sheet on the web at: <a href="http://www.cas.org/ONLINE/DBSS/registryss.html">http://www.cas.org/ONLINE/DBSS/registryss.html</a>

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Ся 91

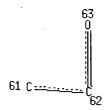
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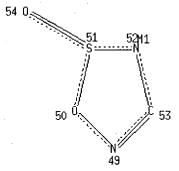
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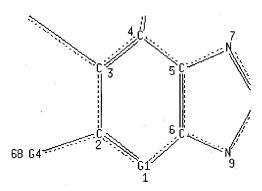


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47 Page 2-A

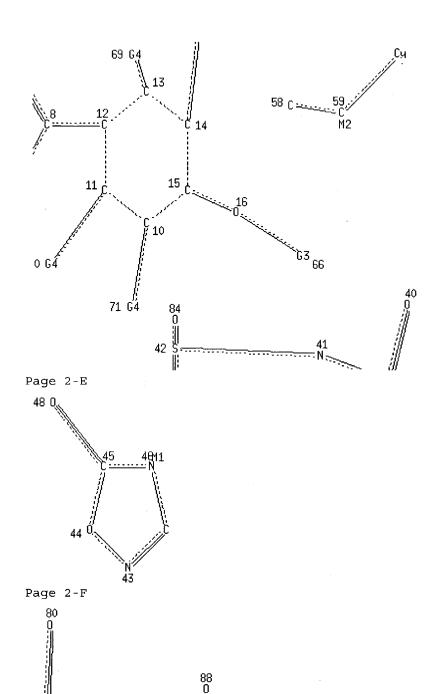


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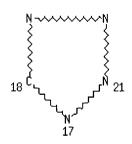


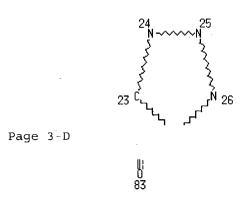
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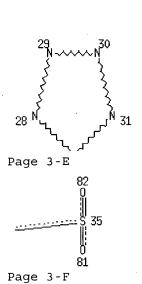
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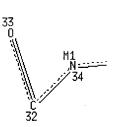


Page 3-B

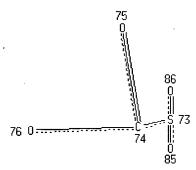








87



Page 4-B



Page 4-D

27



Page 4-E

VAR G1=89/90

VAR G2=18/24/29/35/36/42/47/53/73/77

VAR G3=91/57/58/61/64

VAR G4=92/93/94/95

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RSPEC 10 8 43 49
NUMBER OF NODES IS 95
STEREO ATTRIBUTES: NONE
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SAMPLE SCREEN SEARCH COMPLETED - 302 TO ITERATE
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                                                             37 ANSWERS
100.0% PROCESSED
SEARCH TIME: 00.00.01
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH **COMPLETE**
PROJECTED ITERATIONS:
                        4998 TO 7082
PROJECTED ANSWERS:
                             376 TO
                                         1104
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L12
=> s 111 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END:y
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FULL SCREEN SEARCH COMPLETED - 6058 TO ITERATE
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100.0% PROCESSED
                   6058 ITERATIONS
SEARCH TIME: 00.00.01
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L13
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     FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004
                STRUCTURE UPLOADED
L1
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25 S L1
L2
L3
            447 S L1 FULL
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            13 S L3
L5
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             12 S L4 NOT L5
L6.
             0 S L6 AND DECICCO, C?/AU
L7
              0 S L6 AND HUDYMA, T?/AU
              0 S L6 AND ZHENG, X?/AU
L9
     FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004
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L10
     FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004
L11
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L12
             37 S L11
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L13
=> s 113 not 13
L14
         148 L13 NOT L3
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COST IN U.S. DOLLARS

=> file hcaplus

SINCE FILE TOTAL ENTRY SESSION 164.66 402.64

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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CA SUBSCRIBER PRICE

FULL ESTIMATED COST

0.00 -9.01

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FILE COVERS 1907 - 12 May 2004 VOL 140 ISS 20 FILE LAST UPDATED: 10 May 2004 (20040510/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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5 L14

591649 THU/RL

L15 5 L14/THU

(L14 (L) THU/RL)

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L1
          25 S L1
L2
L3
           447 S L1 FULL
     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4
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            1 S L4 AND PRIESTLEY, E?/AU
            12 S L4 NOT L5
L6
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L11
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L13
           595 S L11 FULL
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L14
     FILE 'HCAPLUS' ENTERED AT 00:47:52 ON 12 MAY 2004
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L15
             1 S L15 AND PRIESTLEY, E?/AU
L16
=> s 116 not 15
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L16 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN
         EU
         References
                                                                            \mathbf{1}
ACCESSION NUMBER:
                        2003:261620 HCAPLUS
DOCUMENT NUMBER:
                        138:287673
TITLE:
                        Preparation of phenylbenzimidazole compounds useful
                        for treating hepatitis C virus
INVENTOR(S):
                        Priestley, Eldon Scott; Decicco, Carl P.; Hudyma,
                        Thomas W.; Zheng, Xiaofan
                        Bristol-Myers Squibb Company, USA
PATENT ASSIGNEE(S):
                        PCT Int. Appl., 74 pp.
SOURCE:
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
                        English
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                                          APPLICATION NO. DATE
     PATENT NO.
                     KIND DATE
     ______
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                                                          _____
     WO 2003026587
                           20030403
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20031106

A3

WO 2003026587

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
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PRIORITY APPLN. INFO.:
                                        US 2001-324874P P
                                                            20010926
                                        US 2002-259041
                                                         B1 20020926
                         MARPAT 138:287673
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OTHER SOURCE(S):

Compds. of formula I [Q = CH, N; R1 = tetrazolyl, MeCONHSO2, PhCONHSO2, AB etc.; R2 = CH2-aryl, CHPh2, etc.; R3 = cycloalkyl] are prepd. which are useful in treating viral hepatitis C. Thus, II was prepd. and had an IC50 of 0.14 µM against HCV NS5B RdRp (RNA-dependent RNA polymerase).

IT 503857-49-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(prepn. of phenylbenzimidazole compds. for treating hepatitis C viral infection)

RN503857-49-6 HCAPLUS

1H-Benzimidazole, 1-cyclohexyl-2-[4-(diphenylmethoxy)phenyl]-5-(1H-CNtetrazol-5-yl) - (9CI) (CA INDEX NAME)

=> d his

(FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004 STRUCTURE UPLOADED

L1 STRUCT L2 25 S L1

L3 447 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004

L4 13 S L3

L5 1 S L4 AND PRIESTLEY, E?/AU

L6 12 S L4 NOT L5

L7 0 S L6 AND DECICCO, C?/AU
L8 0 S L6 AND HUDYMA, T?/AU

L9 0 S L6 AND ZHENG, X?/AU

FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004

L10 0 S L3

FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004

L11 STRUCTURE UPLOADED

L12 37 S L11

L13 595 S L11 FULL

L14 148 S L13 NOT L3

FILE 'HCAPLUS' ENTERED AT 00:47:52 ON 12 MAY 2004

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125 DECICCO, C?/AU

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=> s 119 not 116

L20 0 L19 NOT L16

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0 HYDYMA, T?/AU

L21 0 L18 AND HYDYMA, T?/AU

=> s 118 and zheng, x?/au

3518 ZHENG, X?/AU

L22 0 L18 AND ZHENG, X?/AU

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L18 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

References Text

ACCESSION NUMBER:

2003:970508 HCAPLUS 140:174511

DOCUMENT NUMBER:

TITLE:

AUTHOR(S):

CORPORATE SOURCE:

PUBLISHER:

SOURCE:

DOCUMENT TYPE: LANGUAGE:

Mechanism of action and antiviral activity of

benzimidazole-based allosteric inhibitors of the hepatitis C virus RNA-dependent RNA polymerase

Tomei, Licia; Altamura, Sergio; Bartholomew, Linda; Biroccio, Antonino; Ceccacci, Alessandra; Pacini, Laura; Narjes, Frank; Gennari, Nadia; Bisbocci, Monica; Incitti, Ilario; Orsatti, Laura; Harper, Steven; Stansfield, Ian; Rowley, Michael; De Francesco, Raffaele; Migliaccio, Giovanni

Istituto di Ricerche di Biologia Molecolare "P.

Angeletti", Pomezia-Rome, 00040, Italy

Journal of Virology (2003), 77(24), 13225-13231

CODEN: JOVIAM; ISSN: 0022-538X American Society for Microbiology

Journal

English The RNA-dependent RNA polymerase of hepatitis C virus (HCV) is the AB catalytic subunit of the viral RNA amplification machinery and is an appealing target for the development of new therapeutic agents against HCV infection. Nonnucleoside inhibitors based on a benzimidazole scaffold have been recently reported. Compds. of this class are efficient inhibitors of HCV RNA replication in cell culture, thus providing attractive candidates for further development. Here we report the detailed anal. of the mechanism of action of selected benzimidazole inhibitors. Kinetic data and binding expts. indicated that these compds. act as allosteric inhibitors that block the activity of the polymerase prior to the elongation step. Escape mutations that confer resistance to these compds. map to proline 495, a residue located on the surface of the polymerase thumb domain and away from the active site. Substitution of this residue is sufficient to make the HCV enzyme and replicons resistant to the inhibitors. Interestingly, proline 495 lies in a recently identified noncatalytic GTP-binding site, thus validating it as a potential allosteric site that can be targeted by small-mol. inhibitors of HCV polymerase.

# IT 658693-60-8

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (mechanism of action and antiviral activity of benzimidazole-based allosteric inhibitors of hepatitis C virus RNA-dependent RNA polymerase)

658693-60-8 HCAPLUS RN

1H-Benzimidazole-5-carboxylic acid, 2-[4-[[4'-chloro-4-[(4-hydroxy-1-CNpiperidinyl)carbonyl][1,1'-biphenyl]-2-yl]methoxy]-2-fluorophenyl]-1cyclohexyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L18 ANSWER 2 OF 4 HC

ACCESSION NUMBER:

2003:203407 HCAPLUS

DOCUMENT NUMBER:

138:238181

HCAPLUS

TITLE:

Preparation of substituted 1-cyclohexyl-2-

phenylbenzimidazole-5-carboxylic acids as remedies for

hepatitis C

INVENTOR(S):

Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S):

Japan Tobacco Inc., Japan

SOURCE:

U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl.

No. PCT/JP00/09181.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

GΙ

English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

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OTHER S	OTHER SOURCE(S): MARPAT 138:																

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

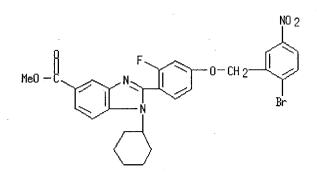
IT 480461-26-5P

CN

RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 480461-26-5 HCAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-[4-[(2-bromo-5-nitrophenyl)methoxy]-2-fluorophenyl]-1-cyclohexyl-, methyl ester (9CI) (CA INDEX NAME)



yes Pio isostero

L18 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing
Text References
ACCESSION NUMBER:

2003:5773 HCAPLUS

DOCUMENT NUMBER:

138:66657

TITLE:

Fused cyclic compounds and medicinal use thereof Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

INVENTOR(S): Hashimot

Atsubito

PATENT ASSIGNEE(S):

Japan Tobacco Inc., Japan PCT Int. Appl., 603 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO. KIND					ND	DATE			A.	PPLI	CATI	ои ис	o. :	DATE					
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US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG JP 2002-185241 20020625 JP 2003212846 A2 20030730 BR 2002005684 20030617 BR 2002-5684 20020626 Α EP 1400241 20040324 EP 2002-743728 Α1 20020626 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2003-344997 US 2004082635 A1 20040429 20030218 NO 2003000832 20030422 NO 2003-832 20030221 PRIORITY APPLN. INFO.: JP 2001-193786 A 20010626 JP 2001-351537 A 20011116 WO 2002-JP6405 W 20020626 OTHER SOURCE(S): MARPAT 138:66657

GI

AB Fused cyclic compds. represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C contg. these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

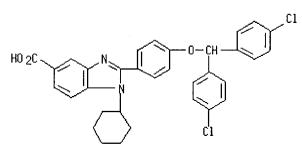
IT 347166-38-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)

RN 347166-38-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[bis(4-chlorophenyl)methoxy]phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

27

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Full Citing
Text References
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ACCESSION NUMBER: DOCUMENT NUMBER:

2001:489367 HCAPLUS

TITLE:

Preparation of heterocyclic compounds as remedies for

hepatitis C

135:76874

INVENTOR(S):

Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S):

SOURCE:

Japan Tobacco Inc., Japan PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

GI

r. 3

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011  $\mu$ M against hepatitis C virus polymerase. A formulation is given.

### IT 347165-90-6P

RN

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heterocyclic compds. as remedies for hepatitis C)

347165-90-6 HCAPLUS

1H-Benzimidazole-5-carboxylic acid, 1-cyclopentyl-2-[4-(diphenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

27

REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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	ENTRY	SESSION
FULL ESTIMATED COST	33.22	435.86
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-3.47	-12.48

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FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter  $\frac{\text{HELP FIRST}}{\text{For more information}}$ .

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L3 447 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
L4 13 S L3

L4 13 S L3
L5 1 S L4 AND PRIESTLEY, E?/AU
L6 12 S L4 NOT L5
L7 0 S L6 AND DECICCO, C?/AU

L7 0 S L6 AND DECICCO, C?/AU
L8 0 S L6 AND HUDYMA, T?/AU
L9 0 S L6 AND ZHENG, X?/AU

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L13 595 S L11 FULL L14 148 S L13 NOT L3

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L15 5 S L14/THU

L16 1 S L15 AND PRIESTLEY, E?/AU

L17 0 S L16 NOT L5 L18 4 S L15 NOT L16

1 S L15 AND DECICCO, C?/AU

L20 0 S L19 NOT L16

L21 0 S L18 AND HYDYMA, T?/AU L22 0 S L18 AND ZHENG, X?/AU

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L19

L23 0 L14

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STRUCTURE FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9 DICTIONARY FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9

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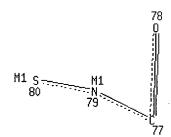
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter <u>HELP PROP</u> at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

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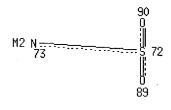
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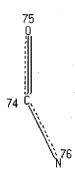
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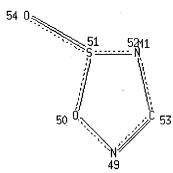




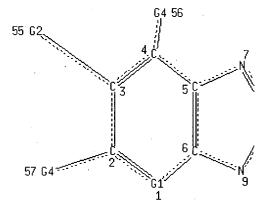
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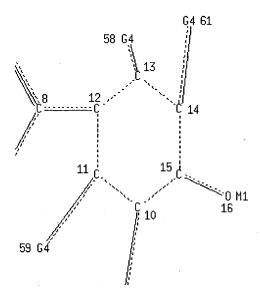


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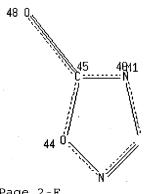


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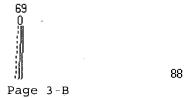
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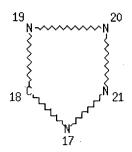


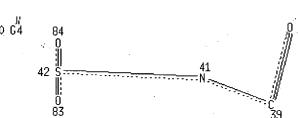
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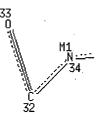


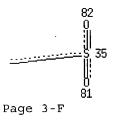
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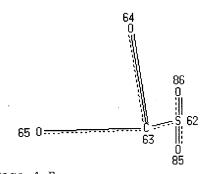


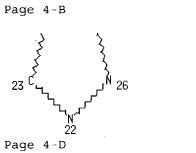


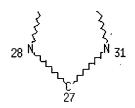












Page 4-E

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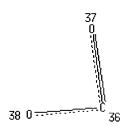
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MLEVEL IS CLASS AT 16 32 33 34 35 36 37 38 39 40 41 42 48 54 62 63 64 65 66 67 68 69 70 71 72 73 74 75 76 77 78 79 80 81 82 83 84 85

86 87 88 89 90 93 94 95 96 97 98

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 10 8 43 49 NUMBER OF NODES IS 98

STEREO ATTRIBUTES: NONE

=> s 124

SAMPLE SEARCH INITIATED 01:11:27 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 303 TO ITERATE

100.0% PROCESSED 303 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 5016 TO

7104

PROJECTED ANSWERS:

1 TO 80

L25

1 SEA SSS SAM L24

=> s 124 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 01:11:34 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 6201 TO ITERATE

100.0% PROCESSED 6201 ITERATIONS

18 ANSWERS

SEARCH TIME: 00.00.01

18 SEA SSS FUL L24 L26

=> file reg

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 166.76 605.98

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) TOTAL SINCE FILE ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -12.48

FILE 'REGISTRY' ENTERED AT 01:11:38 ON 12 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9 DICTIONARY FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter <u>HELP PROP</u> at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

## => s 126/thu

'THU' IS NOT A VALID CROSSOVER QUALIFIER FOR L26
Answer sets created in a different file may be field qualified with a limited set of qualifiers. Enter <a href="https://example.com/het-planes/limited-new-matter-new-matte

# => file hcaplus

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.42	606.40
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-12.48

FILE 'HCAPLUS' ENTERED AT 01:11:52 ON 12 MAY 2004
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FILE COVERS 1907 - 12 May 2004 VOL 140 ISS 20 FILE LAST UPDATED: 10 May 2004 (20040510/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

## => d his

(FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004 L1 STRUCTURE UPLOADED

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L2
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L3
     FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004
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L4
             1 S L4 AND PRIESTLEY, E?/AU
L5
             12 S L4 NOT L5
L6
              0 S L6 AND DECICCO, C?/AU
L7
              0 S L6 AND HUDYMA, T?/AU
^{L8}
L9
              0 S L6 AND ZHENG, X?/AU
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             0 S L3
L10
     FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004
                STRUCTURE UPLOADED
L11
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L12
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L13
            148 S L13 NOT L3
L14
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L15
L16
              1 S L15 AND PRIESTLEY, E?/AU
              0 S L16 NOT L5
L17
L18
              4 S L15 NOT L16
              1 S L15 AND DECICCO, C?/AU
L19
              0 S L19 NOT L16
L20
L21
              0 S L18 AND HYDYMA, T?/AU
L22
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L23
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L24
L25
              1 S L24
             18 S L24 FULL
L26
     FILE 'REGISTRY' ENTERED AT 01:11:38 ON 12 MAY 2004
     FILE 'HCAPLUS' ENTERED AT 01:11:52 ON 12 MAY 2004
=> s 126/thu
             8 L26
        591649 THU/RL
             3 L26/THU
L27
                 (L26 (L) THU/RL)
=> d 127, ibib abs fhitstr, 1-3
     ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
                         2003:633749 HCAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                          139:180347
TITLE:
                         Preparation of histogranin-like peptides and
                         non-peptides
                         Lemaire, Simon; Bernatchez-Lemaire, Irma; Le,
INVENTOR(S):
```

University of Ottawa, Can.

Hoang-Tanh

PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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APPLICATION NO. DATE
     PATENT NO. KIND DATE
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        WO
        2003066673
        A1
        20030814

        WO
        2003066673
        C1
        20031204

                                          WO 2003-CA148 20030205
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
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             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
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     US 2003176329 A1 20030918
                                            US 2002-68905
                                                            20020207
PRIORITY APPLN. INFO.:
                                         US 2002-68905 A 20020207
OTHER SOURCE(S): MARPAT 139:180347
GI
```

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- The invention relates to new basic amino acid derivs. I, II and III [A is H, alkyl, or hydroxyalkyl; B is guanidinoalkyl, 4-imidazolylalkyl, aminoalkyl, p-aminophenylalkyl, p-guanidinophenylalkyl, or 4-pyridinylalkyl; D is CO, CO-alkylene, or alkylene; E is a single bond or alkylene; Z is NH2, amino groups, OH, alkoxy, benzyloxy, or halobenzyl; R1-R5 are independently H or various substituents] and to their prepn. and use in treatment of pain. The compds. have histogranin-like antinociceptive, morphine potentiating and COX-2 induction modulating activities. Thus, cyclo[Gly-(p-chloro)Phe-Tyr-D-Arg] (I-1) was prepd. on an oxime resin using tert-butoxycarbonyl (Boc) protection and cleaved from the resin using intrachain aminolysis in the presence of AcOH and diisopropylethylamine. I-1 showed AD50 = 0.17 nmol/mouse and an analgesic potency ratio of 135 relative to histogranin in a mouse writhing pain assay.

# IT 573720-54-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of histogranin-like peptides and non-peptides)

RN573720-54-4 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(1R)-1-(aminocarbonyl)-4-[(aminoiminomethyl)amino]butyl]-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

2

Full Citing
Text References

ACCESSION NUMBER:

2003:203407 HCAPLUS

DOCUMENT NUMBER:

138:238181

TITLE:

Preparation of substituted 1-cyclohexyl-2-

phenylbenzimidazole-5-carboxylic acids as remedies for

hepatitis C

INVENTOR (S):

Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S):

Japan Tobacco Inc., Japan

SOURCE:

GΙ

U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl.

No. PCT/JP00/09181.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE:

Patent

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO	0.	KIND	DATE			A.	PPLI	CATI	ои ис	ο.	DATE			
US 20030	50320	A1	2003	0313		U	S 20	01-9	39374	4	2001	0824		
WO 20010	47883	<b>A</b> 1	2001	0705		Mo	20	00-J	P918:	1	2000	1222		
W: 2	AE, AG,	AL, A	M, AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
(	CR, CU,	CZ, D	E, DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
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1	DE, DK,	ES, F	I, FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
1	BJ, CF,	CG, C	I, CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
JP 20012	47550	A2	2001	0911		J.	P 20	00-39	91904	4	2000	1225		
PRIORITY APPLI	N. INFO	. :				JP 1:	999-	3690	80	Α	1999	1227		
					1	WO 2	000-	JP91	81	A2	2000	1222		
						JP 2	000-	3919	04	Α	2000	1225		
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OTHER SOURCE (	S):	M	ARPAT	138:	2381	81								

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5,

G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

## IT 347165-36-0P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU** (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 347165-36-0 HCAPLUS

1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-(4-hydroxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

L27 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 2001:489367 HCAPLUS

DOCUMENT NUMBER: 135:76874

TITLE: Preparation of heterocyclic compounds as remedies for

hepatitis C

INVENTOR(S):
Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

SOURCE:

PAT	rent	NO.		KIND DATE					A	PPLI	CATI	٥.	DATE				
				~ -					-								
WO	2001	0478	83	Α	1	2001	0705		M	20	00-J	P918	1	2000	1222		
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BR 2000008525				Α	:	2002	0102		B	R 20	00-8	525		2000	1222		

TR	200103147	T1	20020621	TR	2001-20010	314	720001222
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RU	2223761	C2	20040220	RU	2001-12628	3	20001222
NO	2001004134	Α	20011022	NO	2001-4134		20010824
US	2003050320	A1	20030313	US	2001-93937	4	20010824
ZA	2001007870	Α	20020925	ZA	2001-7870		20010928
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				WO 20	00-JP9181	W	20001222
				JP 200	00-391904	Α	20001225
				JP 200	01-193786	Α	20010626

OTHER SOURCE(S):

MARPAT 135:76874

GI

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011 μM against hepatitis C virus polymerase. A formulation is given.

# IT 347165-36-0P

RN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. as remedies for hepatitis C)

347165-36-0 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-(4-hydroxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file caold COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 18.99 625.39 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -2.08 -14.56

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FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REG1STRY file. Enter  $\underline{\text{HELP FIRST}}$  for more information.

## => d his

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FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004
L1 STRUCTURE UPLOADED
L2 25 S L1
L3 447 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004 13 S L3

L4 13 S L3
L5 1 S L4 AND PRIESTLEY, E?/AU
L6 12 S L4 NOT L5
L7 0 S L6 AND DECICCO, C?/AU
L8 0 S L6 AND HUDYMA, T?/AU
L9 0 S L6 AND ZHENG, X?/AU

FILE 'CAOLD' ENTERED AT 00:33:48,ON 12 MAY 2004 L10 0 S L3

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STRUCTURE UPLOADED
L11
L12
            37 S L11
           595 S L11 FULL
L13
           148 S L13 NOT L3
     FILE 'HCAPLUS' ENTERED AT 00:47:52 ON 12 MAY 2004
          5 S L14/THU
L15
             1 S L15 AND PRIESTLEY, E?/AU
L16
L17 ·
            0 S L16 NOT L5
            4 S L15 NOT L16
L18
            1 S L15 AND DECICCO, C?/AU
L19
L20
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            0 S L18 AND HYDYMA, T?/AU
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L22
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             0 S L14
L23
     FILE 'REGISTRY' ENTERED AT 00:54:59 ON 12 MAY 2004
L24
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L25
             1 S L24
            18 S L24 FULL
L26
     FILE 'REGISTRY' ENTERED AT 01:11:38 ON 12 MAY 2004
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L27
             3 S L26/THU
     FILE 'CAOLD' ENTERED AT 01:12:49 ON 12 MAY 2004
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            0 L26
L28
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in bonds :
2-84 3-66 4-83 9-13 11-86 12-85 14-87 15-88 16-17 17-82 37-38 37-39 39-40 42-43 42-45 46-47 46-48 48-49 53-56 60-63 67-68 70-71 71-72 74-75 75-76 78-79
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                                                 6-10 8-9 9-10 11-12 11-16 12-13 13-14 14-15 22-23 25-26 25-29 26-27 27-28 28-29 31-32 3 52-53 53-54 54-55 58-59 58-62 59-60 60-61 6
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15-16 19-20 19-23 20-21 21-22
32-33 33-34 34-35 51-52 51-55
          33-34 34-35
ct/norm bonds :
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17-82 19-20 19-23 20-21 21-22 22-23
                                                                                         9-10
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                     2-84
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          15-88
                    16-17
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                                        33-34
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                              32-33
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          51-52
                                        53-54
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                                        75-76
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          70-71
                              74-75
                                                 78-79
malized bonds :
11-12 11-16
                   12-13 13-14 14-15
                                                 15-16
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  containing 1 : 11 : 51 : 58 :
C,N
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[\*1],[\*2],[\*3],[\*4],[\*5],[\*6],[\*7],[\*8] Cy,[\*9],[\*10],[\*11],[\*12]

ch level : 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom

25:Atom

26:Atom 27:Atom 28:Atom 29:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 37:CLASS 38:CLASS 39:CLASS 40:CLASS 42:CLASS 43:CLASS 45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS 58:Atom 59:Atom 60:Atom 61:Atom 62:Atom 63:CLASS 66:CLASS 67:Atom 68:CLASS 70:CLASS 71:CLASS 72:Atom 74:CLASS 75:CLASS 76:CLASS 78:CLASS 79:CLASS 82:CLASS 83:CLASS 84:CLASS 85:CLASS 86:CLASS 87:CLASS 88:CLASS

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Cy,[*11],[*12],[*13],[*14]
H,F,CH3,NH2
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ch level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 37:CLASS 38:CLASS 39:CLASS 40:CLASS 42:CLASS 43:CLASS 45:CLASS 46:CLASS 47:CLASS 48:CLASS 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS 58:Atom 59:Atom 60:Atom 61:Atom 62:Atom 63:CLASS 66:CLASS 67:Atom 68:CLASS 70:CLASS 71:CLASS 72:Atom 74:CLASS 75:CLASS 76:CLASS 78:CLASS 79:CLASS 82:CLASS 84:CLASS 85:CLASS 87:CLASS 89:CLASS 90:CLASS 91:CLASS 92:CLASS 93:CLASS 94:CLASS 96:CLASS 97:CLASS 98:CLASS 99:CLASS 99:CLASS

#### => d his (FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004) FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004 STRUCTURE UPLOADED L1 L225 S L1 L3447 S L1 FULL FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004 L413 S L3 1 S L4 AND PRIESTLEY, E?/AU L5 L6 12 S L4 NOT L5 0 S L6 AND DECICCO, C?/AU L70 S L6 AND HUDYMA, T?/AU L80 S L6 AND ZHENG, X?/AU L9 FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004 0 S L3 L10 FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004 STRUCTURE UPLOADED L11 37 S L11 L12595 S L11 FULL L13 148 S L13 NOT L3 L14 FILE 'HCAPLUS' ENTERED AT 00:47:52 ON 12 MAY 2004 L15 5 S L14/THU 1 S L15 AND PRIESTLEY, E?/AU L16 L17 0 S L16 NOT L5 . 4 S L15 NOT L16 L18 1 S L15 AND DECICCO, C?/AU L19 L20 0 S L19 NOT L16 L21 0 S L18 AND HYDYMA, T?/AU L22 0 S L18 AND ZHENG, X?/AU

FILE 'CAOLD' ENTERED AT 00:50:07 ON 12 MAY 2004

=> s 114 L23

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=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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http://www.cas.org/ONLINE/DBSS/registryss.html

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS

=> s 11 SAMPLE SEARCH INITIATED 00:32:07 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 302 TO ITERATE

100.0% PROCESSED 302 ITERATIONS SEARCH TIME: 00.00.01

25 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

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PROJECTED ITERATIONS: 4998 TO 7082

PROJECTED ITERATIONS: 4998 TO 7082
PROJECTED ANSWERS: 200 TO 800

L2 25 SEA SSS SAM L1

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THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 00:32:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6058 TO ITERATE

100.0% PROCESSED 6058 ITERATIONS 447 ANSWERS SEARCH TIME: 00.00.01

L3 447 SEA SSS FUL L1

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COST IN U.S. DOLLARS
SINCE FILE TOTAL
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168.44
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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

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=> s 14 and priestley, e?/au 64 PRIESTLEY, E?/AU

L5 1 L4 AND PRIESTLEY, E?/AU

=> d 15, ibib abs fhitstr, 1

L5 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 2003:261620 HCAPLUS

DOCUMENT NUMBER: 138:287673

TITLE: Preparation of phenylbenzimidazole compounds useful

for treating hepatitis C virus

INVENTOR(S): Priestley, Eldon Scott; Decicco, Carl P.; Hudyma,

Thomas W.; Zheng, Xiaofan

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT 1	NO.		KII	ND	DATE			A	PPLI	CATI	ои ис	o. :	DATE				
	WO 2003	0265	87 87	Α:	 2	2003	0403		W	20	02-U	5309	39	2002	0926			
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PRIO	PRIORITY APPLN. INFO.:							US 2001-324874P P 20010926										
									US 2002-259041 B1 20020926									

OTHER SOURCE(S): MARPAT 138:287673

Compds. of formula I [Q = CH, N; R1 = tetrazolyl, MeCONHSO2, PhCoNHSO2, etc.; R2 = CH2-aryl, CHPh2, etc.; R3 = cycloalkyl] are prepd. which are useful in treating viral hepatitis C. Thus, II was prepd. and had an IC50 of 0.14  $\mu$ M against HCV NS5B RdRp (RNA-dependent RNA polymerase).

IT 503857-56-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of phenylbenzimidazole compds. for treating hepatitis C viral infection)

RN 503857-56-5 HCAPLUS

Glycine, N-[4-(5-acetyl-2-thienyl)-3-[[4-[1-cyclohexyl-5-(1H-tetrazol-5-yl)-1H-benzimidazol-2-yl]phenoxy]methyl]benzoyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN <u>503857-55-4</u> CMF C40 H41 N7 O5 S

CM 2

CRN  $\frac{76-05-1}{C2 H F3}$  O2

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F-C-C0 2H
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=> d his

(FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004

L1 STRUCTURE UPLOADED

L2 25 S L1

L3 447 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004

L4 13 S L3

L5 1 S L4 AND PRIESTLEY, E?/AU

=> s 14 not 15

L6 12 L4 NOT L5

=> s 16 and decicco, c?/au

125 DECICCO, C?/AU

L7 0 L6 AND DECICCO, C?/AU

=> s 16 and hudyma, t?/au

45 HUDYMA, T?/AU

L8 0 L6 AND HUDYMA, T?/AU

=> s 16 and zheng, x?/au

3518 ZHENG, X?/AU

L9 0 L6 AND ZHENG, X?/AU

=> d 16, ibib abs fhitstr, 1-12

L6 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing References
ACCESSION NUMBER:

2003:981461 HCAPLUS

DOCUMENT NUMBER: 140:246106

TITLE: Non-nucleoside inhibitors of the hepatitis C virus

NS5B polymerase: discovery and preliminary SAR of

benzimidazole derivatives

AUTHOR(S): Beaulieu, Pierre L.; Bos, Michael; Bousquet, Yves;

Fazal, Gulrez; Gauthier, Jean; Gillard, James; Goulet,

Sylvie; LaPlante, Steven; Poupart, Marc-Andre; Lefebvre, Sylvain; McKercher, Ginette; Pellerin,

Charles; Austel, Volkhard; Kukolj, George

CORPORATE SOURCE: Department of Chemistry, Research and Development,

Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S

2G5, Can.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),

14(1), 119-124

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

Benzimidazole 5-carboxamide derivs. from a combinatorial screening library AB were discovered as specific inhibitors of the NS5B polymerase of the hepatitis C virus (HCV). Initial hit-to-lead activities taking advantage of high-throughput parallel synthetic techniques, identified a 1,2-disubstituted benzimidazole 5-carboxylic acid scaffold as the min. core for biol. activity. Potent analogs in this series inhibit the polymerase at low micromolar concns. and provide an attractive 'drug-like' lead structure for further optimization and the development of potential HCV therapeutics.

## IT 390815-16-4P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(discovery and preliminary SAR of benzimidazole derivs. as inhibitors of hepatitis C virus NS5B polymerase)

390815-16-4 HCAPLUS RN

> 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-[[3-(dimethylamino)propyl]amino]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS 21 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN L6

ACCESSION NUMBER: DOCUMENT NUMBER:

2003:319709 HCAPLUS

138:338144

TITLE:

Maybe: make some There Preparation of 2-phenyl benzimidazoles and

Ortho-McNeil Pharmaceutical, Inc., USA

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

imidazo-[4,5]pyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in the

treatment of cancer

INVENTOR(S):

Arienti, Kristen L.; Axe, Frank U.; Breitenbucher, J.

Guy; Huang, Liming; Lee, Alice; McClure, Kelly J.

PATENT ASSIGNEE(S):

PCT Int. Appl., 144 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

MENT TYPE:	CODEN: PIXXD2 Patent	- ( )	movision
UAGE:	English	10719	- a grusiu
LY ACC. NUM. COUNNT INFORMATION:	NT: 1	1000	Pu 12(a)
PATENT NO.	KIND DATE	APPLICATION NO. DATE	4 10 10
WO 2003032984	A1 20030424	WO 2002-US33371 20021018	
WO 2003032984	C1 20031120		
W: AE, AG,	AL, AM, AT, AU, AZ,	BA, BB, BG, BR, BY, BZ, CA,	CH, CN,
CO, CR,	CU, CZ, DE, DK, DM,	DZ, EC, EE, ES, FI, GB, GD,	GE, GH,

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PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
                            20030918
                                            US 2002-273487
                                                             20021018
    US 2003176438
                       Α1
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                                            NO 2003-2759
                                                             20030617
    NO 2003002759
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PRIORITY APPLN. INFO.:
                                        US 2001-330304P
                                                             20011019
                                                          Ρ
                                        WO 2002-US33371
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                                                             20021018
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OTHER SOURCE(S):

MARPAT 138:338144

GΙ

$$\begin{array}{c} \text{N} \\ \text{NH} \\ \text{R?} \\ \text{R?} \end{array} \begin{array}{c} \text{N} \\ \text{NH} \\ \text{NH} \end{array} \begin{array}{c} \text{Z-Ar 1} \\ \text{Y 1} \\ \text{Y 2} \\ \text{I} \end{array}$$

2-Aryl-substituted benzimidazoles and imidazo[4,5]pyridines (shown as I; AΒ e.g. 2-[4-(4-chlorophenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide (II)) are disclosed as inhibitors of Cds1 and useful as adjuvants to chemotherapy or radiation therapy in the treatment of cancer. For I: W is COOH, -C(0)NHR1, or -SO2NHR1 (R1 is H or C1-4alkyl); Q is N or CH; Ra and Rb are H or halogen; Y, Y1 and Y2 = N and C-Rc with the proviso that 0, 1 or 2 of Y, Y1 and Y2 are N and at least 2 of Rc must be H; Rc = -H, -OH, -C1-6alkyl, -SCF3, halo, -CF3 and -OCF3; Z = O, S, SO, SO2, SO2NR2, NR2SO2, NH, CONR2, piperazinediyl or a covalent bond; R2 is H or C1-4alkyl; Arl is an arom. group as defined in the claims. IC50 values are reported for inhibition of human Cds1 checkpoint kinase by 103 examples of I, e.g. 3 nM for 2-[4-(4-chloro-3trifluoromethylphenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide. Addnl. studies were (i) detn. of the effect of II on tumor cell line clonogenic survival, (ii) effect of II on tumor growth in murine xenograft models, (iii) detn. of the effect of 14 examples of I on radiation-induced apoptosis in isolated primary cells, and (iv) detn. of the effect of II on radiation-induced apoptosis in splenocytes in vivo. Although the methods of prepn. are not claimed, ~100 example prepns. are included.

IT 516480-80-1P, 2-[4-(4-Chlorophenoxy)phenyl]-1H-benzimidazole-5-

carboxylic acid

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; prepn. of benzimidazoles and imidazopyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in treatment of cancer)

RN 516480-80-1 HCAPLUS

CN

1H-Benzimidazole-5-carboxylic acid, 2-[4-(4-chlorophenoxy)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2004 ACS on STN L6 ANSWER 3 OF 12

ACCESSION NUMBER:

2003:203407 HCAPLUS

DOCUMENT NUMBER:

138:238181

TITLE:

Preparation of substituted 1-cyclohexyl-2-

phenylbenzimidazole-5-carboxylic acids as remedies for

hepatitis C

INVENTOR(S):

Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S):

SOURCE:

Japan Tobacco Inc., Japan

U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl.

No. PCT/JP00/09181.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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US	2003	5503:			_	2003					01-9		_	2001			
WO	2001	0478	83	A.	1	2001	0705		M	20	00-J	P918	1_	2000	1222		
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
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														LS,			
		MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,
		SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,
		ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	$\mathbf{TM}$						
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AΤ,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	ΝL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ĢW,	ML,	MR,	NE,	SN,	TD,	TG		
JP	2001:	2475	50	A	2	2001	0911		J.	P 20	00-3	9190	4	2000	1225		
PRIORIT	Y APP	LN.	INFO	. :				1	JP 1	999-	3690	8.0	Α	1999	1227		
								1	WO 2	000-	JP91	81	A2	2000	1222		
								,	JP 2	000-	3919	04	Α	2000	1225		

JP 2001-193786

20010626

OTHER SOURCE(S):

MARPAT 138:238181

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compds. I [the dotted line in rings B1 and B2 indicates a single AB or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy =(un) substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data

given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

IT 347165-35-9P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 347165-35-9 HCAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

INVENTOR (S):

2003:5773 HCAPLUS

138:66657

Fused cyclic compounds and medicinal use thereof Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S):

SOURCE:

Japan Tobacco Inc., Japan PCT Int. Appl., 603 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent Japanese

ANGUAGE: Dapane,

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.				KIND DATE					AI	PPLI(	CATIO	ON NO	o. :	DATE			
	WO.	2003	0002	54	A	1	2003	0103		WO	20	02-JI	P640!	5	2002	0626		
		W:													BZ,		CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,
															OM,			
. )			RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,
M	)														MD,			
100		RW:													ZW,			
•			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
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1		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
\								RO,										
$\overline{}$		2004																
	NO	2003	0008	32	A		2003	0422		No	0 20	03-8	32		2003	0221		

PRIORITY APPLN. INFO.:

JP 2001-193786 20010626 JP 2001-351537 A 20011116 WO 2002-JP6405 20020626

OTHER SOURCE(S):

MARPAT 138:66657

I

Fused cyclic compds. represented by the following general formula [I] or AB pharmaceutically acceptable salts thereof and remedies for hepatitis C contg. these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

IT 347165-35-9P

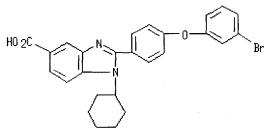
CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)

347165-35-9 HCAPLUS RN

1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2004 ACS on STN L6 ANSWER 5 OF 12

27

Full Cine. References Text ACCESSION NUMBER:

2002:51438 HCAPLUS

136:118447

DOCUMENT NUMBER: TITLE:

Preparation of benzimidazolecarboxylates and related

compounds as viral polymerase inhibitors

Beaulieu, Pierre Louis; Fazal, Gulrez; Gillard, James; INVENTOR(S):

Kukolj, George; Austel, Volkhard

PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE:

PCT Int. Appl., 322 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

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English (1) - Sphery ] - 4- and part of the start of the 
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
          PATENT NO.
          WQ 2002004425
                          HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
                          LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
                          SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
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                                                                                   US 2001-898297
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          US 2002065418
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          US 6448281
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                                                                                      EP 2001-951274
          EP 1301487
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                                                                                                                         20010704
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           JP 2004502761
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                                                                                                                         20011127
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           US 6479508
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                                                                                                                         20020306
           WO 2002070739
                                              Α2
                                                        20020912
           WO 2002070739
                                              Α3
                                                        20030530
                          AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                          CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
                          GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
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make
                          LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
                          PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
                          UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
                           TJ, TM
                  RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
                           CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
                           BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                                                      EP 2002-712681 20020306
                                              A2
                                                      20031217
           EP 1370682
                          AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                           IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
           US 2003232816
                                              A1 20031218
                                                                                       US 2002-238282
                                                                                                                         20020910
                                                                                 US 2000-216084P
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 PRIORITY APPLN. INFO.:
                                                                                 US 2001-274374P
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                                                                                 US 2001-281343P
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                                                                                                                   A3 20010703
                                                                                 US 2001-898297
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                                                                                 US 2001-995099
                                                                                                                  A3 20011127
                                                                                 WO 2002-CA323
                                                                                                                   W 20020306
                                                  MARPAT 136:118447
 OTHER SOURCE(S):
 GΙ
                                (CH<sub>2</sub>)<sub>n</sub>CYZ
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Title compds. [I; X = CH, N; Y = O, S; Z = OH, NH2, NMeR3, NHR3, OR3, 5-6 AB membered (substituted) heterocyclyl; A = N, COR7, CR5; R5 = H, halo, alkyl; R7 = H, alkyl; X and A are not both N; R6 = H, halo, alkyl, OR7; R7 = H , alkyl; R1 = (substituted) hetero(bi)cyclyl, Ph, phenylalkyl, alkenyl, phenylalkenyl, cycloalkyl, alkyl, CF3; R2 = (substituted) alkyl,

cycloalkyl, cycloalkylalkyl, bicycloalkyl, adamantyl, Ph, pyridyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkenyl, cycloalkylalkenyl, arylalkenyl, dialkylamino, heterocyclyl, etc.; n = 0, 1], were prepd. Thus, Me 3-amino-4-cyclohexylaminobenzoate (prepn. given), 2-pyridinecarboxaldehyde, and Oxone were stirred in DMF to give 80% Et 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylate, which was sapond. with aq. NaOH in MeOH to give 91% 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylic acid. The latter inhibited hepatitis C virus RNA dependent polymerase (NS5B) with IC50 = 1-5 µM.

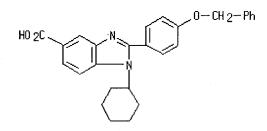
## IT 347166-09-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazolecarboxylates and related compds. as viral polymerase inhibitors)

RN 347166-09-0 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing
Text References

ACCESSION NUMBER: 2001:489367 HCAPLUS

DOCUMENT NUMBER: 135:76874

TITLE: Preparation of heterocyclic compounds as remedies for

hepatitis C

INVENTOR(S):
Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

Patent

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PA	TENT 1	NO.		KI	ND :	DATE			A.	PPLI	CATI	ON NC	o. :	DATE			
									_								
WO	2001	0478	В3	A	1	2001	0705		M	20	00-J	P918	1 :	2000	1222		
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
		HU,	ID,	ΙL,	IN,	IS,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,
		MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,
		SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,
		ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	$\mathbf{TM}$						
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
EP	1162	196		Α	1	2001	1212		E	P 20	00-9	8772	8	2000	1222		

R: AT	BE, CH	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
IE	SI, LT	LV,	FI,	RO										
BR 2000008	<u> 25</u> 1	A	2002	0102		BI	R 20	00-8	525		2000	1222		
TR 20010314	17 :	1	2002	0621		T	R 20	01-2	0010	3147	2000	1222		
NZ 514403	Ā	A	2002	1025		N	Z 20	00-5	1440	3	2000	1222		
AU 763356	I	32	2003	0717		AU	J 20	01-2	4017		2000	1222		
RU 2223761	(	22	2004	0220		RU	J 20	01-1	2628	3	2000	1222		
NO 20010041	<u> 134</u>	A	2001	1022		NO	200	01-4	134		2001	0824		
US 2003050:	3 <u>20</u>	1	2003	0313		<u>U</u> :	S 20	01-9	3937	4 :	2001	0824		
ZA 2001007	370 A	A	2002	0925		$\mathbf{Z}$	A 20	01-7	870		2001	0928		
PRIORITY APPLN.	INFO.:					JP 1	999-1	3690	8 0	Α	1999	1227		
						WO 20	000-i	JP91	81	W	2000	1222		
						JP 20	000-	3919	04	A :	2000	1225		
						JP 20	001-	1937	86	A	2001	0626		

OTHER SOURCE(S):

MARPAT 135:76874

GΙ

The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011  $\mu$ M against hepatitis C virus polymerase. A formulation is given.

Π

# IT <u>347165-35-9</u>P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. as remedies for hepatitis C)

RN <u>347165-35-9</u> HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 **HCAPLUS** COPYRIGHT 2004 ACS on STN ANSWER 7 OF 12

27

ACCESSION NUMBER:

TITLE:

DOCUMENT NUMBER:

AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

LANGUAGE:

F30

2001:412102 HCAPLUS

135:177890

Synthesis and antimicrobial activity of some new 2-phenyl-N-substituted carboxamido-1H-benzimidazole

derivatives

Goker, Hakan; Tuncbilek, Meral; Suzen, Sibel; Kus,

Canan; Altanlar, Nurten

Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Ankara University, Ankara, 06100, Turk.

Archiv der Pharmazie (Weinheim, Germany) (2001

334(5), 148-152

CODEN: ARPMAS; ISSN: 0365-6233

Wiley-VCH Verlag GmbH

Journal English

CASREACT 135:177890

OTHER SOURCE(S):

DOCUMENT TYPE:

NH CH 2CH 2NMe 2

NH CH 2CH 2NEt 2

NH CH 2CH 2NMe 2

AB Some 1H-benzimidazole-carboxamide derivs. were prepd. and their antimicrobial activities against Staphylococcus aureus, Escherichia coli,

III

and Candida albicans evaluated. Compds. I, II, and III exhibited the best activity against C. albicans.

## IT 174422-18-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and antimicrobial activity of new 2-phenyl-N-substituted carboxamido-1H-benzimidazole derivs.)

174422-18-5 HCAPLUS RN

1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) CN (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2004 ACS on STN L6 ANSWER 8 OF 12

8

References

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

AUTHOR(S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER: DOCUMENT TYPE:

LANGUAGE:

GT

1999:614608 HCAPLUS

131:286454

Synthesis and antimicrobial activity of some new

benzimidazole carboxylates and carboxamides

Ayhan-Kilciqil, Gulgun; Tuncbilek, Meral; Altanlar,

Nurten; Goker, Hakan

Department of Pharmaceutical Chemistry, Faculty of

Pharmacy, Ankara University, Ankara, 06100, Turk.

Farmaco (1999), 54(8), 562-565 CODEN: FRMCE8; ISSN: 0014-827X

Elsevier Science S.A.

Journal English

AB Benzimidazole carboxylates and carboxamides, e.g., I [R1 = MeO, (2-pyridinylmethyl)amino, 4-methylpiperidino, R2 = 2-ClC6H4, 4-ClC6H4, 2,4-Cl2C6H3, 2-MeOC6H4, 4-MeOC6H4, 2-thienyl], were synthesized and evaluated for their antimicrobial activities against Staphylococcus aureus, Escherichia coli, and Candida albicans. Among the investigated compds., I (R1 = MeO, R2 = 2-MeOC6H4) exhibited best activity against C. albicans.

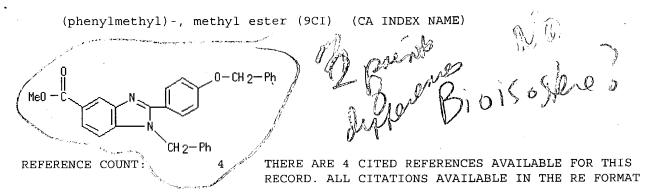
# IT 246517-85-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and antimicrobial activity of benzimidazole carboxylates and carboxamides)

246517-85-1 HCAPLUS RN

1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]-1-CN



L6 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

# Full Citing Text References

ACCESSION NUMBER:

1999:184240 HCAPLUS

DOCUMENT NUMBER:

130:209707

TITLE:

Preparation of 2-substituted phenyl-benzimidazole

antibacterial agents

INVENTOR(S):
PATENT ASSIGNEE(S):

Ohemeng, Kwasi Adomako; Nguyen, Van Nhatton

Ortho-McNeil Pharmaceutical, Inc., USA PCT Int. Appl., 70 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.		KII	ND I	DATE			A	PPLI	CATIO	ои ис	٥.	DATE			1,,
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WO 9911	627		A:	1 :	1999	0311		W	0 19	98-U	S1858	86	1998	0904		
W:	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
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	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	MΤ				
RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
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	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG						\

<u>US 5942532</u> AU 9893054

PRIORITY APPLN. INFO.:

A 19990824 A1 19990322 US 1997-924558 AU 1998-93054 US 1997-924558 19970905 19980904 19970905

WO 1998-US18586

19980904

OTHER SOURCE(S):

MARPAT 130:209707

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$$R^{5}$$
 $R^{7}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 

AB Benzimidazoles I [R1 = H, OH, alkoxy; R2, R3, R4 = H, OH, alkyl, CF3, halo, etc.; R5 = H, amino, amidino; R6 = nitro, C(NHR9):NR10; R7 = H, amino, nitro; R8 = H, Me], antibacterial compds., were prepd. These compds. are effective in inhibiting the action of a bacterial histidine protein kinase and are useful as anti-infective agents against a variety

of bacterial organisms, including organisms which are resistant to other known antibiotics. E.g., 3,4-diaminobenzimidate, prepd. from 3,4-diaminobenzonitrile, was treated with NH3/EtOH, then with 4-Me3CC6H4CHO to give 2-[4-(1,1-dimethylethyl)phenyl]-2H-benzimidazole-5-carboximidamide.

## IT 220955-73-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenylbenzimidazoles as antibacterial agents)

RN 220955-73-7 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-phenoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN



ACCESSION NUMBER:

1998:634393 HCAPLUS

DOCUMENT NUMBER:

129:316174

TITLE:

Synthesis of some new benzimidazolecarboxamides and

evaluation of their antimicrobial activity

AUTHOR(S): Goker, Hakan; Tuncbilek, Meral; Ayhan, Gulgun;

Altanlar, Nurten

CORPORATE SOURCE:

Department of Pharmaceutical Chemistry, Faculty of

Pharmacy, Ankara University, Ankara, 06100, Turk.

SOURCE: Farmaco (1998), 53(6), 415-420

CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER: Elsevier Science S.A.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB A series of 1,2-disubstituted benzimidazole-5(6)-carboxamides was prepd. and evaluated in vitro for antimicrobial activity against Staphylococcus aureus, Escherichia coli, and Candida albicans. The precursor benzimidazolecarboxylic acids were prepd. via oxidative condensation of diaminobenzoic acids with aldehydes and via several steps over the 2(1H)-benzimidazolones, resp. All acids were converted to their acyl chlorides with SOC12, then amidified with several N,N'-dialkylaminoethyl derivs.

# IT 174422-18-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. and bactericidal and fungicidal activity of
 benzimidazolecarboxamides)

RN 174422-18-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI)
(CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER:

1996:144268 HCAPLUS

DOCUMENT NUMBER:

124:197998

TITLE:

Synthesis of 1,2-disubstituted benzimidazole-5(6)-carboxamides and evaluation of their antimicrobial

activity

AUTHOR (S):

Goeker, Hakan; Tebrizli, Emin; Abbasoglu, Ufuk

CORPORATE SOURCE:

Faculty of Pharmacy, Univ. of Ankara, Tandogan, 06100,

Turk.

SOURCE:

Farmaco (1996), 51(1), 53-8

CODEN: FRMCE8

PUBLISHER:

Societa Chimica Italiana

DOCUMENT TYPE:

Journal English

LANGUAGE:

Fourteen N'-(N,N-dialkylaminoethyl)-benzimidazole 5(6)- or 5-carboxamides having several substituents on the azole and benzene nuclei were prepd. and evaluated in vitro for antimicrobial activity. The precursor benzimidazolecarboxylic acids were prepd. via oxidative condensation of diaminobenzoic acids and several aldehydes with cupric ion. All carboxamides were prepd. from the corresponding acids and N,N-dialkylethylenediamine. Antibacterial and antifungal activities were detd. as MIC values. Compds. which were prepd. by replacement with bulky alkyl groups on the tert-N benzimidazole atom gave the best results.

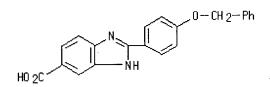
IT 174422-18-5P

RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (synthesis of 1,2-disubstituted benzimidazole-5(6)-carboxamides and evaluation of their antimicrobial activity)

RN 174422-18-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)





L6 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References:
ACCESSION NUMBER:

1996:38013 HCAPLUS

DOCUMENT NUMBER:

124:202112

TITLE:

Synthesis of some new benzimidazole-5(6)-carboxylic

acids

AUTHOR (S):

Goeker, Hakan; Oelgen, Suereyya; Ertan, Rahmiye;

Akguen, Huelya; Oezbey, Sueheyla; Kendi, Engin; Topcu,

Guel

CORPORATE SOURCE:

Fac. Pharmacy, Ankara Univ., Ankara, 06100, Turk. Journal of Heterocyclic Chemistry (1995), 32(6),

1767~73

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER:

HeteroCorporation DOCUMENT TYPE:

LANGUAGE:

Journal English

SOURCE:

GΙ

The title compds., 1,2-dialkyl-benzimidazole-5(6)-carboxylic acids I (Ar = AΒ Ph, 4-MeC6H4, 4-ClC6H4, 2-BrC6H4, OPh, 4-ClC6H4O, etc., R = H, F, CO2H position = 5, 6) were prepd. in four steps; (1) prepn. of mono amide derivs. II by the reaction of Me 3,4-diaminobenzoate and substituted Ph or phenoxyacetic acid chlorides ArCH2COCl, (2) prepn. of the Me benzimidazolecarboxylates III, with zinc chloride and dry hydrogen chloride gas, (3) alk. hydrolysis of the esters, and (4) substitution of the imidazole ring with benzyl or p-fluorobenzyl bromide, in alkali medium. 2-Aryl-benzimidazole-5(6)-carboxylic acids IV (R1 = H, OCH2Ph, OH, R2 = OCH2Ph, OH) were prepd. via the oxidative condensation of 3,4-diaminobenzoic acid and arom. aldehydes with cupric ion.

I۷

# IT 174422-18-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of benzimidazolecarboxylic acids)

RN 174422-18-5 HCAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-[4-(phenylmethoxy)phenyl]- (9CI) CN(CA INDEX NAME)

=> file caold

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	ENTRY	SESSION
FULL ESTIMATED COST	68.91	237.56
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-9.01	-9.01

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FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

#### => d his

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FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004

STRUCTURE UPLOADED L1

L225 S L1

L3447 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004

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 $L_5$ 1 S L4 AND PRIESTLEY, E?/AU

12 S L4 NOT L5  $_{\rm L6}$ 

0 S L6 AND DECICCO, C?/AU L7

L8 0 S L6 AND HUDYMA, T?/AU L9

0 S L6 AND ZHENG, X?/AU

FILE 'CAOLD' ENTERED AT 00:33:48 ON 12 MAY 2004

=> s 13

0 L3 L10

=> file reg

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 237.98

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE 0.00 -9.01

FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9 DICTIONARY FILE UPDATES: 10 MAY 2004 HIGHEST RN 681120-30-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter <a href="http://example.com/HELP\_PROP">HELP\_PROP</a> at an arrow prompt in the file or refer to the file summary sheet on the web at: <a href="http://www.cas.org/ONLINE/DBSS/registryss.html">http://www.cas.org/ONLINE/DBSS/registryss.html</a>

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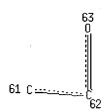
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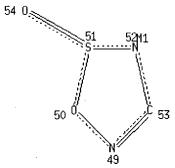
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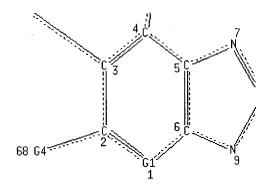


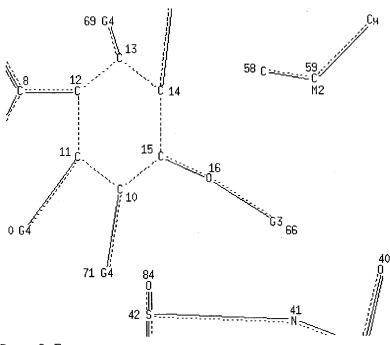
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Page 2-A

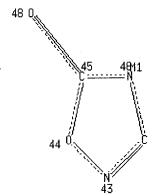


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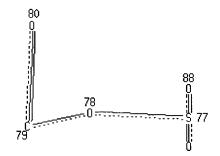




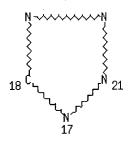
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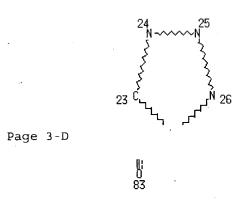


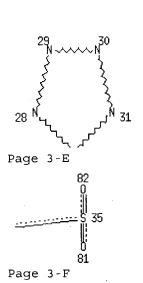
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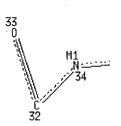


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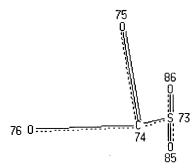








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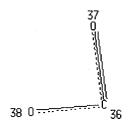


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Page 4-D

τ΄ 27



Page 4-E

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VAR G2=18/24/29/35/36/42/47/53/73/77

VAR G3=91/57/58/61/64

VAR G4=92/93/94/95

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SEARCH TIME: 00.00.01
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L12
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T.4
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L5
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L12
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FILE 'HCAPLUS' ENTERED AT 00:47:52 ON 12 MAY 2004
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SINCE FILE

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TOTAL

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

This file contains CAS Registry Numbers for easy and accurate substance identification.

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5 L14

591649 THU/RL

L15 5 L14/THU

(L14 (L) THU/RL)
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L16 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN
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                         2003:261620 HCAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         138:287673
                         Preparation of phenylbenzimidazole compounds useful
TITLE:
                         for treating hepatitis C virus
                         Priestley, Eldon Scott; Decicco, Carl P.; Hudyma,
INVENTOR(S):
                         Thomas W.; Zheng, Xiaofan
                         Bristol-Myers Squibb Company, USA
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 74 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
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WO 2003026587

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AB Compds. of formula I [Q = CH, N; R1 = tetrazolyl, MeCONHSO2, PhCONHSO2, etc.; R2 = CH2-aryl, CHPh2, etc.; R3 = cycloalkyl] are prepd. which are useful in treating viral hepatitis C. Thus, II was prepd. and had an IC50 of 0.14  $\mu$ M against HCV NS5B RdRp (RNA-dependent RNA polymerase).

IT <u>503857-49-6</u>P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **THU** (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenylbenzimidazole compds. for treating hepatitis  ${\tt C}$  viral infection)

RN 503857-49-6 HCAPLUS

CN 1H-Benzimidazole, 1-cyclohexyl-2-[4-(diphenylmethoxy)phenyl]-5-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

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(FILE 'HOME' ENTERED AT 00:12:55 ON 12 MAY 2004)

FILE 'REGISTRY' ENTERED AT 00:13:07 ON 12 MAY 2004 STRUCTURE UPLOADED

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L3 447 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 00:32:16 ON 12 MAY 2004

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L5 1 S L4 AND PRIESTLEY, E?/AU

L6 12 S L4 NOT L5

L7 0 S L6 AND DECICCO, C?/AU
L8 0 S L6 AND HUDYMA, T?/AU
L9 0 S L6 AND ZHENG, X?/AU

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L10 0 S L3

FILE 'REGISTRY' ENTERED AT 00:33:56 ON 12 MAY 2004

L11 STRUCTURE UPLOADED

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L13 595 S L11 FULL

L14 148 S L13 NOT L3

FILE 'HCAPLUS' ENTERED AT 00:47:52 ON 12 MAY 2004

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=> s 115 and decicco, c?/au

125 DECICCO, C?/AU

L19 1 L15 AND DECICCO, C?/AU

=> s 119 not 116

L20 0 L19 NOT L16

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O HYDYMA, T?/AU

L21 0 L18 AND HYDYMA, T?/AU

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3518 ZHENG, X?/AU

L22 0 L18 AND ZHENG, X?/AU

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L18 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text

ACCESSION NUMBER:

2003:970508 HCAPLUS

DOCUMENT NUMBER:

140:174511

TITLE:

AUTHOR (S):

Mechanism of action and antiviral activity of benzimidazole-based allosteric inhibitors of the hepatitis C virus RNA-dependent RNA polymerase Tomei, Licia; Altamura, Sergio; Bartholomew, Linda; Biroccio, Antonino; Ceccacci, Alessandra; Pacini, Laura; Narjes, Frank; Gennari, Nadia; Bisbocci, Monica; Incitti, Ilario; Orsatti, Laura; Harper,

Steven; Stansfield, Ian; Rowley, Michael; De Francesco, Raffaele; Migliaccio, Giovanni

CORPORATE SOURCE:

Istituto di Ricerche di Biologia Molecolare "P.

Angeletti", Pomezia-Rome, 00040, Italy

SOURCE:

Journal of Virology (2003), 77(24), 13225-13231

CODEN: JOVIAM; ISSN: 0022-538X

PUBLISHER: DOCUMENT TYPE: American Society for Microbiology

LANGUAGE:

Journal English

The RNA-dependent RNA polymerase of hepatitis C virus (HCV) is the catalytic subunit of the viral RNA amplification machinery and is an appealing target for the development of new therapeutic agents against HCV infection. Nonnucleoside inhibitors based on a benzimidazole scaffold have been recently reported. Compds. of this class are efficient inhibitors of HCV RNA replication in cell culture, thus providing attractive candidates for further development. Here we report the detailed anal. of the mechanism of action of selected benzimidazole inhibitors. Kinetic data and binding expts. indicated that these compds. act as allosteric inhibitors that block the activity of the polymerase prior to the elongation step. Escape mutations that confer resistance to these compds. map to proline 495, a residue located on the surface of the polymerase thumb domain and away from the active site. Substitution of this residue is sufficient to make the HCV enzyme and replicons resistant to the inhibitors. Interestingly, proline 495 lies in a recently identified noncatalytic GTP-binding site, thus validating it as a potential allosteric site that can be targeted by small-mol. inhibitors of HCV polymerase.

IT 658693-60-8

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (mechanism of action and antiviral activity of benzimidazole-based allosteric inhibitors of hepatitis C virus RNA-dependent RNA polymerase)

658693-60-8 HCAPLUS RN

1H-Benzimidazole-5-carboxylic acid, 2-[4-[[4'-chloro-4-[(4-hydroxy-1-CN piperidinyl)carbonyl][1,1'-biphenyl]-2-yl]methoxy]-2-fluorophenyl]-1cyclohexyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2004 ACS on STN L18 ANSWER 2 OF 4

ACCESSION NUMBER:

DOCUMENT NUMBER:

TITLE:

2003:203407 HCAPLUS

Preparation of substituted 1-cyclohexyl-2-

phenylbenzimidazole-5-carboxylic acids as remedies for

hepatitis C

138:238181

INVENTOR(S):

Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S):

Japan Tobacco Inc., Japan

SOURCE:

U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl.

No. PCT/JP00/09181.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.	KIN	ID DATE			A	PPLI	CATI	ои ис	Э.	DATE			
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US 2003	050320	A1	2003	0313		U	3 20	01-9	39374	4	2001	0824		
WO 2001	047883	A1	2001	0705		W	20	00-J	P918:	1	2000	1222		
W:	AE, AG,	AL,	AM, AT,	ΑÙ,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
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	HU, ID,	IL,	IN, IS,	ΚE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,
	MA, MD,	MG,	MK, MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,
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	DE, DK,	ES,	FI, FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
	BJ, CF,	CG,	CI, CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
JP 2001	247550	A2	2001	0911		J:	P 20	00-3	91904	4	2000	1225		
PRIORITY APP	LN. INFO	.:				ĴΡ 1	999-	3690	08	Α	1999	1227		
					1	WO 2	000-i	JP91	81	A2	2000	1222		
						JP 20	000-	3919	04	Α	2000	1225		
						JP 20	001-	1937	86	Α	2001	0626		
OTHER SOURCE	(S):		MARPAT	138:3	2381	81								

GΙ

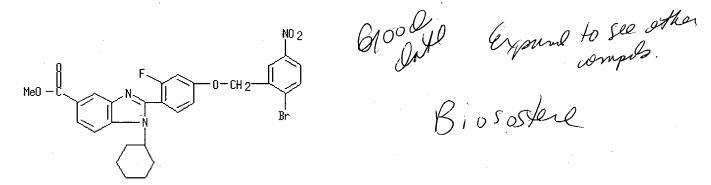
- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

#### IT 480461-26-5P

RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 480461-26-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[(2-bromo-5-nitrophenyl)methoxy]-2-fluorophenyl]-1-cyclohexyl-, methyl ester (9CI) (CA INDEX NAME)



L18 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 2003:5773 HCAPLUS

DOCUMENT NUMBER: 138:66657

TITLE: Fused cyclic compounds and medicinal use thereof

INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

Patent

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 603 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PAT	ENT I	NO.		KII	ND	DATE			A.	PPLI	CATIO	ON NO	o. :	DATE			
									_								
WO	2003	0002	54	A:	1	2003	0103		W	20	02-J	P640	5	2002	0626		
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		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GΕ,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	KE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	ΡL,	PT,
		RO.	RII.	SD.	SE.	SG.	ST.	SK.	SL	T.T	тм	TN.	TR.	TUT	TZ	IIΔ	HG

US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG JP 2003212846 A2 20030730 JP 2002-185241 20020625 BR 2002005684 Α 20030617 BR 2002-5684 20020626 EP 1400241 Α1 20040324 EP 2002-743728 20020626 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2004082635 20040429 <u>US 2003-344997</u> Α1 20030218 NO 20<u>03000832</u> 20030422 NO 2003-832 20030221 PRIORITY APPLN. INFO JP 2001-193786 A 20010626 JP 2001-351537 A 20011116 WO 2002-JP6405 W 20020626 OTHER SOURCE(S): MARPAT 138:66657 I

AB Fused cyclic compds. represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C contg. these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

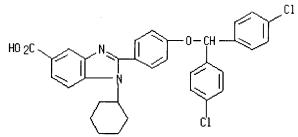
IT 347166-38-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)

RN 347166-38-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[bis(4-chlorophenyl)methoxy]phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

27

ACCESSION NUMBER:

2001:489367 HCAPLUS 135:76874

DOCUMENT NUMBER: TITLE:

Preparation of heterocyclic compounds as remedies for

hepatitis C

INVENTOR(S):

Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S): SOURCE:

Japan Tobacco Inc., Japan PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GΙ

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE		DATE						
WO 2001047883	20010705	WO 2000-JP9181	20001222						
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		TR, TT, TZ, UA, UG, US,							
	, AZ, BY, KG, KZ,		,,,,						
RW: GH, GM	, KE, LS, MW, MZ,	SD, SL, SZ, TZ, UG, ZW,	AT, BE, CH, CY,						
		GR, IE, IT, LU, MC, NL,							
		GN, GW, ML, MR, NE, SN,							
		EP 2000-987728							
R: AT, BE	, CH, DE, DK, ES,	FR, GB, GR, IT, LI, LU,	NL, SE, MC, PT,						
IE, SI	, LT, LV, FI, RO								
BR 2000008525	A 20020102	BR 2000-8525	20001222						
TR 200103147	T1 20020621	TR 2001-200103147	20001222						
NZ 514403	A 20021025	NZ 2000-514403	20001222						
AU 763356	B2 20030717	AU 2001-24017	20001222						
RU 2223761	C2 20040220	RU 2001-126283	20001222						
NO 2001004134	A 20011022	NO 2001-4134	20010824						
US 2003050320	A1 20030313	US 2001-939374	20010824						
ZA 2001007870	A 20020925	ZA 2001-7870	20010928						
PRIORITY APPLN. INF	O.:	JP 1999-369008 A	19991227						
		WO 2000-JP9181 W	20001222						
		JP 2000-391904 A	20001225						
		JP 2001-193786 A	20010626						
OTHER SOURCE(S):	MARPAT 135:	MARPAT 135:76874							

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011  $\mu$ M against hepatitis C virus polymerase. A formulation is given.

#### IT 347165-90-6P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heterocyclic compds. as remedies for hepatitis C)

RN <u>347165-90-6</u> HCAPLUS

1H-Benzimidazole-5-carboxylic acid, 1-cyclopentyl-2-[4-(diphenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY

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G2: [\*1], [\*2], [\*3], [\*4], [\*5], [\*6], [\*7], [\*8], [\*9], [\*10]

G3:Cy,[\*11],[\*12],[\*13],[\*14]

G4:H,F,CH3,NH2 Match level : 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 37:CLASS 38:CLASS 39:CLASS 40:CLASS 42:CLASS 43:CLASS 45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS 58:Atom 59:Atom 60:Atom 61:Atom 62:Atom 63:CLASS 66:CLASS 67:Atom 68:CLASS 70:CLASS 71:CLASS 72:Atom 74:CLASS 75:CLASS 76:CLASS 78:CLASS 79:CLASS 82:CLASS 84:CLASS 85:CLASS 87:CLASS 88:CLASS 89:CLASS 90:CLASS 91:CLASS 92:CLASS 93:CLASS 94:CLASS 96:CLASS 97:CLASS 98:CLASS 99:CLASS

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1:C,N 2:[\*1],[\*2],[\*3],[\*4],[\*5],[\*6],[\*7],[\*8],[\*9],[\*10] 3:Cy,[\*11],[\*12],[\*13],[\*14] 4:H,F,CH3,NH2

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5:C,N

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FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 11 MAY 2004 HIGHEST RN 681211-23-4 DICTIONARY FILE UPDATES: 11 MAY 2004 HIGHEST RN 681211-23-4

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Please note that search-term pricing does apply when conducting SmartSELECT searches.

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Experimental and calculated property data are now available. For more information enter <a href="http://example.com/HELP\_PROP">HELP\_PROP</a> at an arrow prompt in the file or refer to the file summary sheet on the web at: <a href="http://www.cas.org/ONLINE/DBSS/registryss.html">http://www.cas.org/ONLINE/DBSS/registryss.html</a>

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L1 HAS NO ANSWERS

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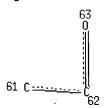
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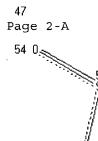
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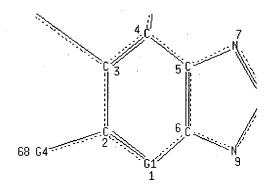
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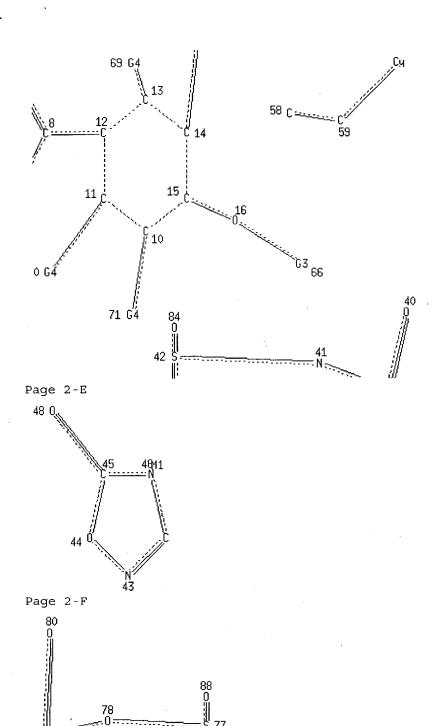


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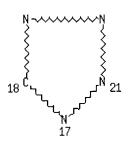
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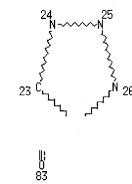
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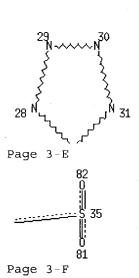
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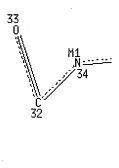


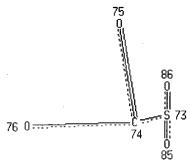
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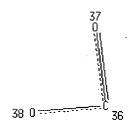


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Page 4-D

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Page 4-E

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PROJECTED ITERATIONS:
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DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END:y
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=> file hcaplus
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FILE 'HCAPLUS' ENTERED AT 15:56:23 ON 12 MAY 2004
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FILE COVERS 1907 - 12 May 2004 VOL 140 ISS 20 FILE LAST UPDATED: 11 May 2004 (20040511/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 14 L3

=> s 14 and beaulieu, p?
50 BEAULIEU .

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You have entered a truncated stem which occurs in too many terms.
Make the stem longer and try again. For example, if your original
term was 'degr?' to search for variations and the abbreviation for
'degradation', you could replace it with the expression '(degrdn OR
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size of the range.

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L5 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN



ACCESSION NUMBER:

2003:981461 HCAPLUS

DOCUMENT NUMBER:

140:246106

TITLE:

Non-nucleoside inhibitors of the hepatitis C virus NS5B polymerase: discovery and preliminary SAR of

benzimidazole derivatives

AUTHOR(S):

Beaulieu, Pierre L.; Bos, Michael; Bousquet, Yves; Fazal, Gulrez; Gauthier, Jean; Gillard, James; Goulet,

Sylvie; LaPlante, Steven; Poupart, Marc-Andre; Lefebvre, Sylvain; McKercher, Ginette; Pellerin,

Charles; Austel, Volkhard; Kukolj, George

CORPORATE SOURCE: Department of Chemistry, Research and Development,

Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S

2G5, Can.

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2004),

14(1), 119-124

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Benzimidazole 5-carboxamide derivs. from a combinatorial screening library were discovered as specific inhibitors of the NS5B polymerase of the hepatitis C virus (HCV). Initial hit-to-lead activities taking advantage of high-throughput parallel synthetic techniques, identified a 1,2-disubstituted benzimidazole 5-carboxylic acid scaffold as the min. core for biol. activity. Potent analogs in this series inhibit the polymerase at low micromolar concns. and provide an attractive 'drug-like' lead structure for further optimization and the development of potential HCV therapeutics.

IT 390815-16-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(discovery and preliminary SAR of benzimidazole derivs. as inhibitors of hepatitis C virus NS5B polymerase)

390815-16-4 HCAPLUS RN

1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-[[3-CN (dimethylamino)propyl]amino]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS 21 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN L5

References

2002:51438 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

PATENT ASSIGNEE(S):

136:118447

Preparation of benzimidazolecarboxylates and related TITLE:

compounds as viral polymerase inhibitors

Beaulieu, Pierre Louis; Fazal, Gulrez; Gillard, INVENTOR(S):

James; Kukolj, George; Austel, Volkhard Boehringer Ingelheim (Canada) Ltd., Can.

PCT Int. Appl., 322 pp. SOURCE:

CODEN: PIXXD2

Patent DOCUMENT TYPE:

English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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OTHER SOURCE(S):

MARPAT 136:118447

GΙ

Title compds. [I; X = CH, N; Y = O, S; Z = OH, NH2, NMeR3, NHR3, OR3, 5-6 AΒ membered (substituted) heterocyclyl; A = N, COR7, CR5; R5 = H, halo, alkyl; R7 = H, alkyl; X and A are not both N; R6 = H, halo, alkyl, OR7; R7 = H , alkyl; R1 = (substituted) hetero(bi)cyclyl, Ph, phenylalkyl, alkenyl, phenylalkenyl, cycloalkyl, alkyl, CF3; R2 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, bicycloalkyl, adamantyl, Ph, pyridyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkenyl, cycloalkylalkenyl, arylalkenyl, dialkylamino, heterocyclyl, etc.; n = 0, 1], were prepd. Thus, Me 3-amino-4-cyclohexylaminobenzoate (prepn. given), 2-pyridinecarboxaldehyde, and Oxone were stirred in DMF to give 80% Et 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylate, which was sapond. with aq. NaOH in MeOH to give 91% 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylic acid. The latter inhibited hepatitis C virus RNA dependent polymerase (NS5B) with IC50 = 1-5  $\mu$ M.

IT 347166-09-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazolecarboxylates and related compds. as viral polymerase inhibitors)

RN 347166-09-0 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

CA SUBSCRIBER PRICE

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SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
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STRUCTURE FILE UPDATES: 11 MAY 2004 HIGHEST RN 681211-23-4 DICTIONARY FILE UPDATES: 11 MAY 2004 HIGHEST RN 681211-23-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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Experimental and calculated property data are now available. For more information enter <a href="HELP PROP">HELP PROP</a> at an arrow prompt in the file or refer to the file summary sheet on the web at: <a href="http://www.cas.org/ONLINE/DBSS/registryss.html">http://www.cas.org/ONLINE/DBSS/registryss.html</a>

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L6 IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
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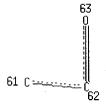
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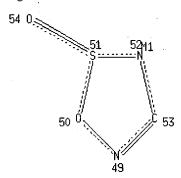


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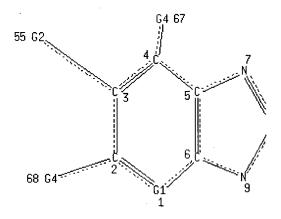


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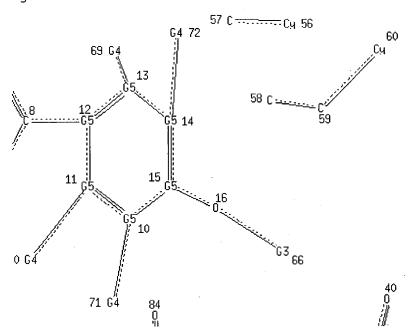
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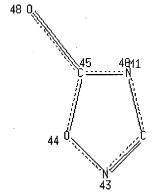


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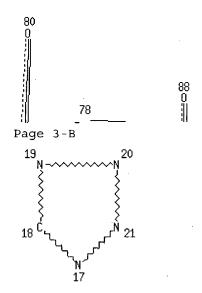


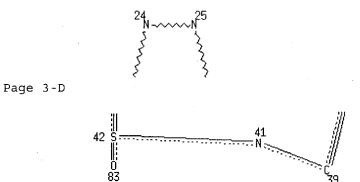
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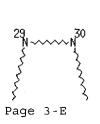
Page · 2 - E

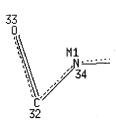


Page 2-F



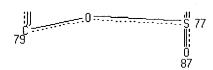


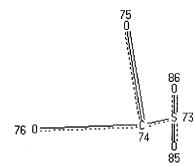




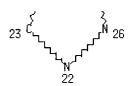


Page 3-F





Page 4-B



Page 4-D





Page 4-E
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VAR G3=91/57/58/61/64
VAR G4=92/93/94/95
VAR G5=96/97
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             8 43
NUMBER OF NODES IS 97
STEREO ATTRIBUTES: NONE
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SAMPLE SEARCH INITIATED 16:00:40 FILE 'REGISTRY'
                                     2049 TO ITERATE
SAMPLE SCREEN SEARCH COMPLETED -
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48.8% PROCESSED 1000 ITERATIONS

19 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

ONLINE \*\*COMPLETE\*\* FULL FILE PROJECTIONS: BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 38265 TO 43695 PROJECTED ANSWERS: 404 TO 1152

19 SEA SSS SAM L6 L7

=> s 16 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END:y FULL SEARCH INITIATED 16:00:48 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 40648 TO ITERATE

100.0% PROCESSED 40648 ITERATIONS 599 ANSWERS

SEARCH TIME: 00.00.02

L<sub>8</sub>

599 SEA SSS FUL L6

=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE TOTAL SESSION ENTRY FULL ESTIMATED COST 170.54 343.60

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE 0.00 -1.39

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FILE COVERS 1907 - 12 May 2004 VOL 140 ISS 20 FILE LAST UPDATED: 11 May 2004 (20040511/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 18/thu

TITLE:

14 L8

591965 THU/RL

8 L8/THU

(L8 ·(L) THU/RL)

=> d 19, ibib abs fhitstr, 1-8

ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN L9

Full Text ACCESSION NUMBER:

2003:981461 HCAPLUS

DOCUMENT NUMBER: 140:246106

Non-nucleoside inhibitors of the hepatitis C virus NS5B polymerase: discovery and preliminary SAR of

benzimidazole derivatives

AUTHOR(S): Beaulieu, Pierre L.; Bos, Michael; Bousquet, Yves; Fazal, Gulrez; Gauthier, Jean; Gillard, James; Goulet,

Sylvie; LaPlante, Steven; Poupart, Marc-Andre; Lefebvre, Sylvain; McKercher, Ginette; Pellerin,

Charles; Austel, Volkhard; Kukolj, George

CORPORATE SOURCE: Department of Chemistry, Research and Development,

Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S

2G5, Can.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),

14(1), 119-124

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier Science B.V.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Benzimidazole 5-carboxamide derivs. from a combinatorial screening library were discovered as specific inhibitors of the NS5B polymerase of the hepatitis C virus (HCV). Initial hit-to-lead activities taking advantage of high-throughput parallel synthetic techniques, identified a 1,2-disubstituted benzimidazole 5-carboxylic acid scaffold as the min. core for biol. activity. Potent analogs in this series inhibit the polymerase at low micromolar concns. and provide an attractive 'drug-like' lead structure for further optimization and the development of potential HCV therapeutics.

IT 390815-16-4P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(discovery and preliminary SAR of benzimidazole derivs. as inhibitors of hepatitis C virus NS5B polymerase)

RN 390815-16-4 HCAPLUS

> 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-[[3-(dimethylamino)propyl]amino]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:970508 HCAPLUS

DOCUMENT NUMBER:

140:174511

TITLE:

Mechanism of action and antiviral activity of benzimidazole-based allosteric inhibitors of the hepatitis C virus RNA-dependent RNA polymerase Tomei, Licia; Altamura, Sergio; Bartholomew, Linda; Biroccio, Antonino; Ceccacci, Alessandra; Pacini, Laura; Narjes, Frank; Gennari, Nadia; Bisbocci, Monica; Incitti, Ilario; Orsatti, Laura; Harper,

AUTHOR(S):

CORPORATE SOURCE:

Istituto di Ricerche di Biologia Molecolare "P.

Angeletti", Pomezia-Rome, 00040, Italy

SOURCE:

Journal of Virology (2003), 77(24), 13225-13231

CODEN: JOVIAM; ISSN: 0022-538X American Society for Microbiology

PUBLISHER: DOCUMENT TYPE:

Journal

LANGUAGE:

English

The RNA-dependent RNA polymerase of hepatitis C virus (HCV) is the catalytic subunit of the viral RNA amplification machinery and is an appealing target for the development of new therapeutic agents against HCV infection. Nonnucleoside inhibitors based on a benzimidazole scaffold have been recently reported. Compds. of this class are efficient inhibitors of HCV RNA replication in cell culture, thus providing attractive candidates for further development. Here we report the detailed anal. of the mechanism of action of selected benzimidazole inhibitors. Kinetic data and binding expts. indicated that these compds. act as allosteric inhibitors that block the activity of the polymerase prior to the elongation step. Escape mutations that confer resistance to these compds. map to proline 495, a residue located on the surface of the polymerase thumb domain and away from the active site. Substitution of this residue is sufficient to make the HCV enzyme and replicons resistant to the inhibitors. Interestingly, proline 495 lies in a recently identified noncatalytic GTP-binding site, thus validating it as a potential allosteric site that can be targeted by small-mol. inhibitors of HCV polymerase.

IT 658693-60-8

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity);

THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(mechanism of action and antiviral activity of benzimidazole-based allosteric inhibitors of hepatitis C virus RNA-dependent RNA polymerase)

RN 658693-60-8 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[[4'-chloro-4-[(4-hydroxy-1-piperidinyl)carbonyl][1,1'-biphenyl]-2-yl]methoxy]-2-fluorophenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing References
ACCESSION NUMBER:

2003:319709 HCAPLUS

DOCUMENT NUMBER:

138:338144

TITLE:

Preparation of 2-phenyl benzimidazoles and

imidazo-[4,5]pyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in the

treatment of cancer

INVENTOR(S):

Arienti, Kristen L.; Axe, Frank U.; Breitenbucher, J.

Guy; Huang, Liming; Lee, Alice; McClure, Kelly J.

PATENT ASSIGNEE(S):

Ortho-McNeil Pharmaceutical, Inc., USA

SOURCE:

PCT Int. Appl., 144 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.				KIND DATE			А	PPLI	CATI	ο.	DATE						
	WO 2003032984				A1 20030424				WO 2002-US33371						20021018			
	WO 200	30329	84	C	C1 20031120													
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		TJ,	TM															
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		PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	
		NE,	SN,	TD,	TG													
	<u>US 200</u>	31764	38	Α	1	2003	0918		U	S 20	02-2	7348′	7	20021018				
	NO 200	30027	59	Α		2003	0818		No	20	03-2	759	_	2003	0617			
PRIO	RITY A	PLN.	INFO	. :				1	US 2001-330304P P					20011019				
								1	WO 2	002-1	JS33:	371	W	2002	1018			

OTHER SOURCE(S):

MARPAT 138:338144

I

GΙ

2-Aryl-substituted benzimidazoles and imidazo[4,5]pyridines (shown as I; e.g. 2-[4-(4-chlorophenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide (II)) are disclosed as inhibitors of Cds1 and useful as adjuvants to chemotherapy or radiation therapy in the treatment of cancer. For I: W is COOH, -C(O)NHR1, or -SO2NHR1 (R1 is H or C1-4alkyl); Q is N or CH; Ra and Rb are H or halogen; Y, Y1 and Y2 = N and C-Rc with the proviso that 0, 1 or 2 of Y, Y1 and Y2 are N and at least 2 of Rc must be H; Rc = -H, -OH, -C1-6alkyl, -SCF3, halo, -CF3 and -OCF3; Z = O, S, SO, SO2, SO2NR2, NR2SO2, NH, CONR2, piperazinediyl or a covalent bond; R2 is H or C1-4alkyl; Ar1 is an arom. group as defined in the claims. IC50 values are reported for inhibition of human Cds1 checkpoint kinase by 103 examples of I, e.g. 3 nM for 2-[4-(4-chloro-3-trifluoromethylphenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide.

Addnl. studies were (i) detn. of the effect of II on tumor cell line clonogenic survival, (ii) effect of II on tumor growth in murine xenograft models, (iii) detn. of the effect of 14 examples of I on radiation-induced apoptosis in isolated primary cells, and (iv) detn. of the effect of II on radiation-induced apoptosis in splenocytes in vivo. Although the methods of prepn. are not claimed, ~100 example prepns. are included.

IT 516480-80-1P, 2-[4-(4-Chlorophenoxy)phenyl]-1H-benzimidazole-5-

carboxylic acid

RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; prepn. of benzimidazoles and imidazopyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in treatment of cancer)

RN 516480-80-1 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(4-chlorophenoxy)phenyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

## L9 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Claing Text References

ACCESSION NUMBER: 2003:261620 HCAPLUS

DOCUMENT NUMBER: 138:287673

TITLE: Preparation of phenylbenzimidazole compounds useful

for treating hepatitis C virus

INVENTOR(S): Priestley, Eldon Scott; Decicco, Carl P.; Hudyma,

Thomas W.; Zheng, Xiaofan

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

Patent

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO. DATE
WO 2003026587	A2 200304	0403 <u>WO 2002-US30989</u> 20020926
WO 2003026587	A3 200311	106
W: AE, AG	, AL, AM, AT, A	AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR	, CU, CZ, DE, I	DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR	, HU, ID, IL, 1	IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT	, LU, LV, MA, N	MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT	, RO, RU, SD, S	SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG	, UZ, VN, YU, Z	ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM	, KE, LS, MW, N	MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY	, CZ, DE, DK, E	EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE	, SK, TR, BF, E	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN	, TD, TG	
US 2003134853	A1 200307	0717 <u>US 2002-259041</u> 20020926

US 2004067976 PRIORITY APPLN. INFO.:

20040408 A1

US 2003-648873

20030827

US 2001-324874P P 20010926 US 2002-259041

B1 20020926

OTHER SOURCE(S):

MARPAT 138:287673

Compds. of formula I [Q = CH, N; R1 = tetrazolyl, MeCONHSO2, PhCONHSO2,AB etc.; R2 = CH2-aryl, CHPh2, etc.; R3 = cycloalkyl] are prepd. which are useful in treating viral hepatitis C. Thus, II was prepd. and had an IC50 of 0.14  $\mu M$  against HCV NS5B RdRp (RNA-dependent RNA polymerase).

IT 503857-56-5P

RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of phenylbenzimidazole compds. for treating hepatitis C viral infection)

503857-56-5 HCAPLUS RN

> Glycine, N-[4-(5-acetyl-2-thienyl)-3-[[4-[1-cyclohexyl-5-(1H-tetrazol-5yl)-1H-benzimidazol-2-yl]phenoxy]methyl]benzoyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 503857-55-4 CMF C40 H41 N7 O5 S

CM

CRN 76-05-1 CMF C2 H F3 O2

L9 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:203407 HCAPLUS

DOCUMENT NUMBER:

138:238181

TITLE:

Preparation of substituted 1-cyclohexyl-2-

phenylbenzimidazole-5-carboxylic acids as remedies for

hepatitis C

INVENTOR(S):

Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S):

Japan Tobacco Inc., Japan

SOURCE:

U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl.

No. PCT/JP00/09181.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO. K				KII	ND :	DATE			Al	PPLI	CATI	ο.	DATE					
	US 2003050320			 A:	1.	2003	0313		US US	5 20	01-91	20010824							
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			HU,	ID,	IL,	IN,	IS,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	
			MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	
	·		SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	
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			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
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PRIORITY APPLN. INFO.:									9	JP 19	999-3	3690	0.8	Α	1999	1227			
									1	WO 20	000-	JP918	31	<b>A2</b>	2000	1222			
									·	JP 20	000-3	39190	)4	Α	2000	1225			
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THER	SOU	JRCE	(S):			MAR	PAT :	138:2	2381	31									

OTHER SOURCE(S):

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5,

G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

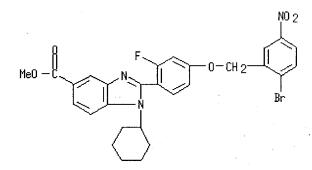
IT 480461-26-5P

CN

RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

. RN 480461-26-5 HCAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-[4-[(2-bromo-5-nitrophenyl)methoxy]-2-fluorophenyl]-1-cyclohexyl-, methyl ester (9CI) (CA INDEX NAME)



Biograpal

L9 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Citing Text References

ACCESSION NUMBER: 2003:5773 HCAPLUS

DOCUMENT NUMBER: 138:66657

TITLE: Fused cyclic compounds and medicinal use thereof

INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida,

Atsuhito

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan SOURCE: PCT Int. Appl., 603 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

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EP 1400241 Α1 20040324 EP 2002-743728 20020626 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2003-344997 US 2004082635 A1 20040429 20030218 NO 2003000832 20030422 20030221 NO 2003-832 PRIORITY APPLN. INFO.: JP 2001-193786 A 20010626 JP 2001-351537 A 20011116 WO 2002-JP6405 W 20020626

OTHER SOURCE(S):

MARPAT 138:66657

GΙ

AB Fused cyclic compds. represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C contg. these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

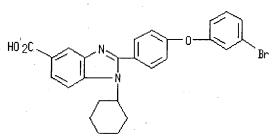
IT 347165-35-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)

RN 347165-35-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN

27

Full Citing
Text References
ACCESSION NUMBER:

2002:51438 HCAPLUS

DOCUMENT NUMBER:

136:118447

TITLE:

Preparation of benzimidazolecarboxylates and related

compounds as viral polymerase inhibitors

INVENTOR(S):

Beaulieu, Pierre Louis; Fazal, Gulrez; Gillard, James;

Kukolj, George; Austel, Volkhard

PATENT ASSIGNEE(S):

Boehringer Ingelheim (Canada) Ltd., Can.

SOURCE:

PCT Int. Appl., 322 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

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PATENT NO.
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R1 - X - R6

OTHER SOURCE(S):

GΙ

MARPAT 136:118447

Title compds. [I; X = CH, N; Y = O, S; Z = OH, NH2, NMeR3, NHR3, OR3, S-6AΒ membered (substituted) heterocyclyl; A = N, COR7, CR5; R5 = H, halo, alkyl; R7 = H, alkyl; X and A are not both N; R6 = H, halo, alkyl, OR7; R7 = H , alkyl: R1 = (substituted) hetero(bi)cyclyl, Ph, phenylalkyl, alkenyl, phenylalkenyl, cycloalkyl, alkyl, CF3; R2 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, bicycloalkyl, adamantyl, Ph, pyridyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkenyl, cycloalkylalkenyl, arylalkenyl, dialkylamino, heterocyclyl, etc.; n = 0, 1], were prepd. Thus, Me 3-amino-4-cyclohexylaminobenzoate (prepn. qiven), 2-pyridinecarboxaldehyde, and Oxone were stirred in DMF to give 80% Et 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylate, which was sapond. with aq. NaOH in MeOH to give 91% 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylic acid. The latter inhibited hepatitis C virus RNA dependent polymerase (NS5B) with IC50 = 1-5  $\mu$ M.

IT 347166-09-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazolecarboxylates and related compds. as viral polymerase inhibitors)

347166-09-0 HCAPLUS RN

1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-CN(phenylmethoxy)phenyl] - (9CI) (CA INDEX NAME)

ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2004 ACS on STN L9

- Cidale Reference:

ACCESSION NUMBER: 2001:489367 HCAPLUS

DOCUMENT NUMBER: 135:76874

Preparation of heterocyclic compounds as remedies for TITLE:

hepatitis C

Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, INVENTOR(S):

Atsuhito

Japan Tobacco Inc., Japan PATENT ASSIGNEE(S):

PCT Int. Appl., 438 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

> APPLICATION NO. DATE PATENT NO. KIND DATE -----\_\_\_\_\_\_ 20010705 WO 2000-JP9181 20001222 WO 2001047883 A1 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,

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PRIORITY APPLN. INFO.:
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OTHER SOURCE(S):

MARPAT 135:76874

GΙ

The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011 μM against hepatitis C virus polymerase. A formulation is given.

IT 347165-35-9P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. as remedies for hepatitis C)

RN 347165-35-9 HCAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-[4-(3-bromophenoxy)phenyl]-1-cyclohexyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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